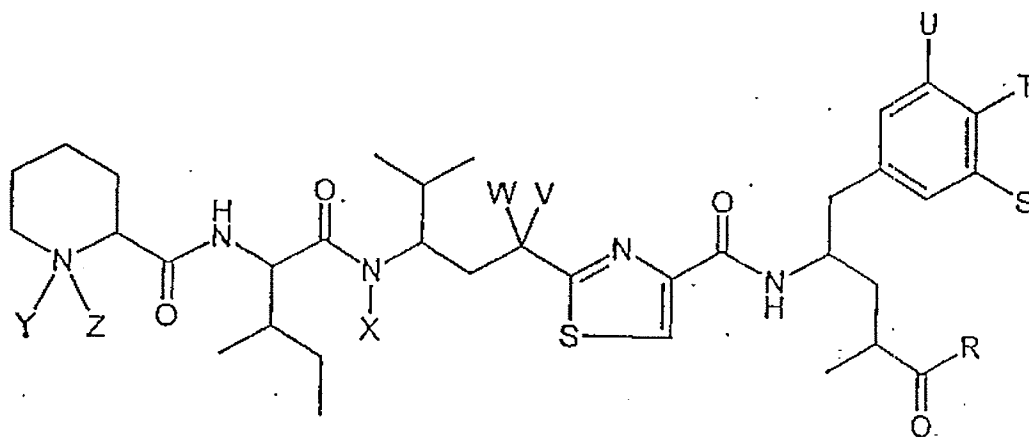


AMENDMENT

Please amend the application without prejudice, without admission, without surrender of subject matter, and without any intention of creating any estoppel as to equivalents as follows.

In the Claims

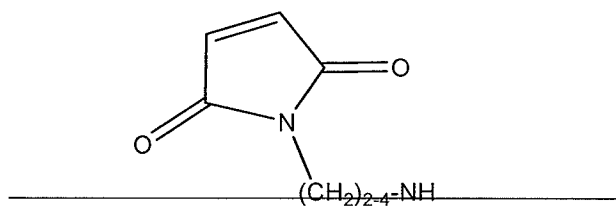
1. Currently Amended) A compound of formula I (tubulysin):



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R = OR¹

R¹ = [[H,]] alkyl or aryl

$R^2 = H, \text{ alkyl or aryl}$

$S = H, \text{ Hal, NO}_2 \text{ or NHR}^3$

$U = H, \text{ Hal, NO}_2 \text{ or NHR}^3$

$R^3 = H, \text{ HCO or alkyl CO}$

$T = H \text{ or OR}^4$

$R^4 = H, \text{ alkyl, aryl, COR}^5, \text{ P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = H, \text{ alkyl or a metal ion}$

$V = [[H,]] \text{ OR}^7, \text{ Hal or (with W=O)-O}$

$R^7 = H, \text{ alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = H \text{ or alkyl or (with V)-O}$

$X = H, \text{ alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = H, \text{ alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

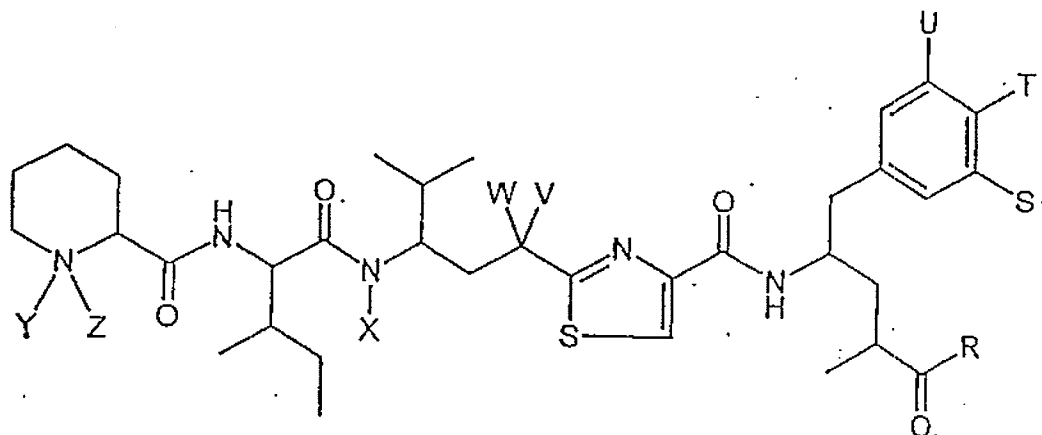
$Y = (\text{for Z=CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z=CH}_3\text{)-O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y=O or free electron pair}) \text{ CH}_3 \text{ or (for Y=free electron pair) COR}^{11}.$

2. (Currently Amended) The compound according to claim 1, wherein
 $R, R^1, R^4, R^5, R^8, R^9, R^{10}$ and/or $R^{11} = \text{unsubstituted or substituted phenyl, especially}$
 ~~C_{1-4} alkyl substituted phenyl~~
 $R^5 = C_{1-4}\text{alkyl, } C_{2-6}\text{alkenyl or pyridyl}$
 R^5 and/or $X = C_{2-4}\text{alkenyl}$
 $R^6 = \text{an alkali metal ion, especially the Na ion, or an alkaline earth metal ion}$
 R^8 and/or $R^9 = C_{2-4}\text{alkenyl and/or}$
 $R^{10} = C_{2-6}\text{alkenyl, especially } C_{2-4}\text{alkenyl, or pyridyl.}$

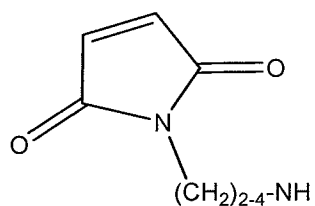
3. (Withdrawn) A process for the preparation of a compound of (type 7)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

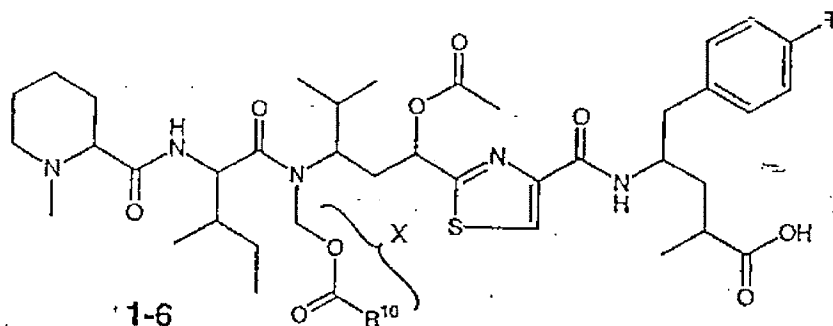
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = H, Y = free electron pair and Z = CH₃, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6):

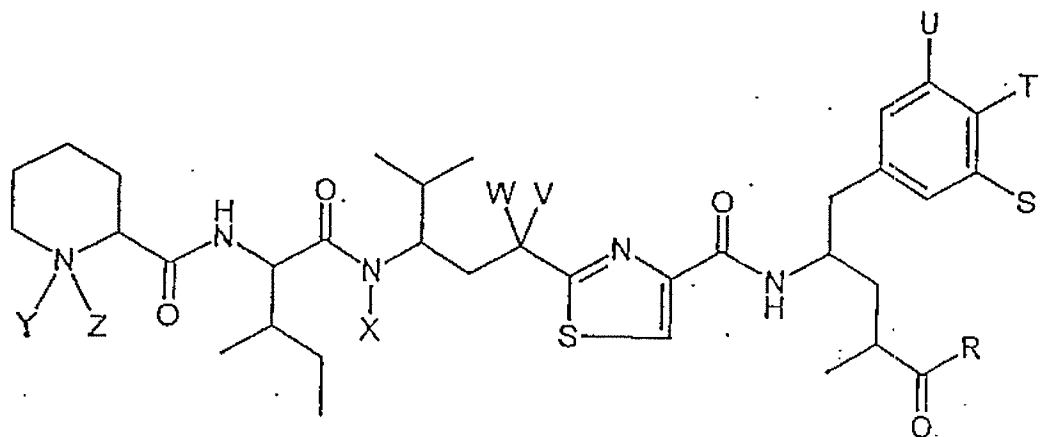


Formula II

wherein X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in an acidic medium, thereby preparing the compound of formula I having the indicated meanings.

4. (Withdrawn) The process according to claim 3, wherein the ester cleavage is carried out in an organic solvent, especially dioxane, in the presence of an acid, especially hydrogen chloride, and/or at elevated temperature.

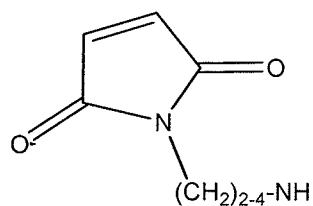
5. (Withdrawn) A process for the preparation of a compound of formula I (type 8)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

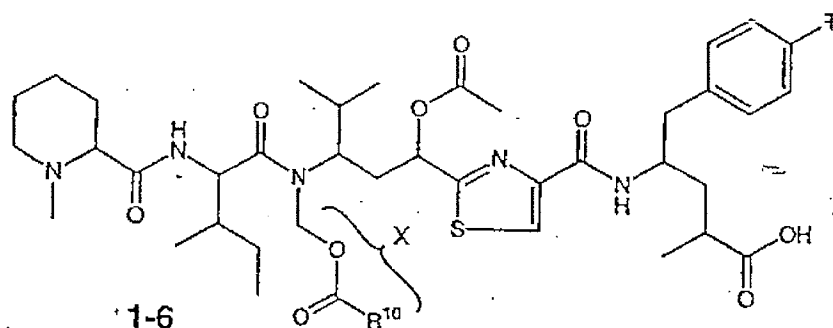
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄ alkyl, especially methyl, W = H, X = H, Y = free electron pair and Z = CH₃, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6)

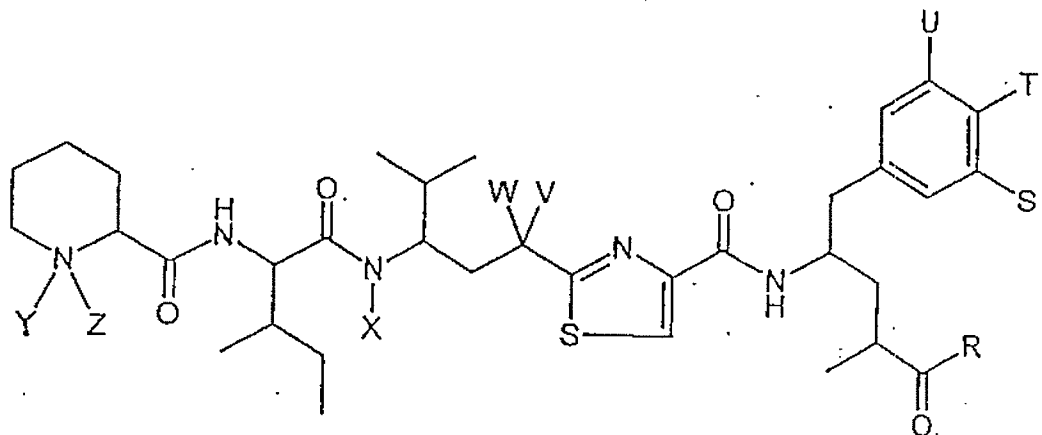


Formula II

wherein X=CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰=alkyl, preferably C₁₋₆alkyl, and which otherwise has the meanings indicated above is subjected to acetal cleavage thereby preparing the compound of formula I having the indicated meanings.

6. (Withdrawn) The process according to claim 5, wherein the acetal cleavage is carried out in an acidic medium, especially in the presence of hydrochloric acid, and/or at elevated temperature.

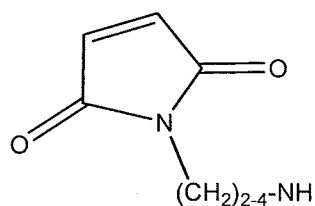
7. (Withdrawn) A process for the preparation of a compound of formula I (type 9)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

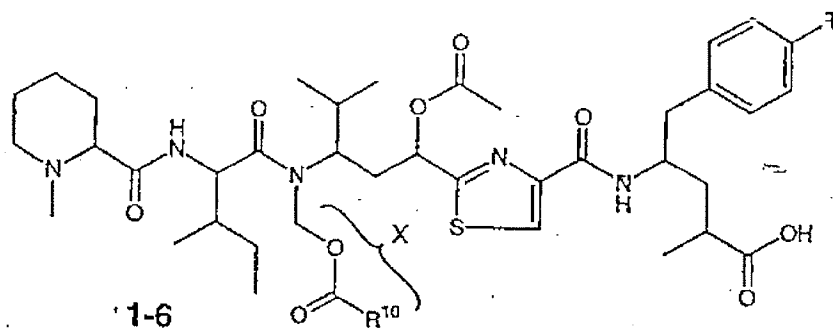
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = H, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, Y = free electron pair and Z = CH₃, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6)

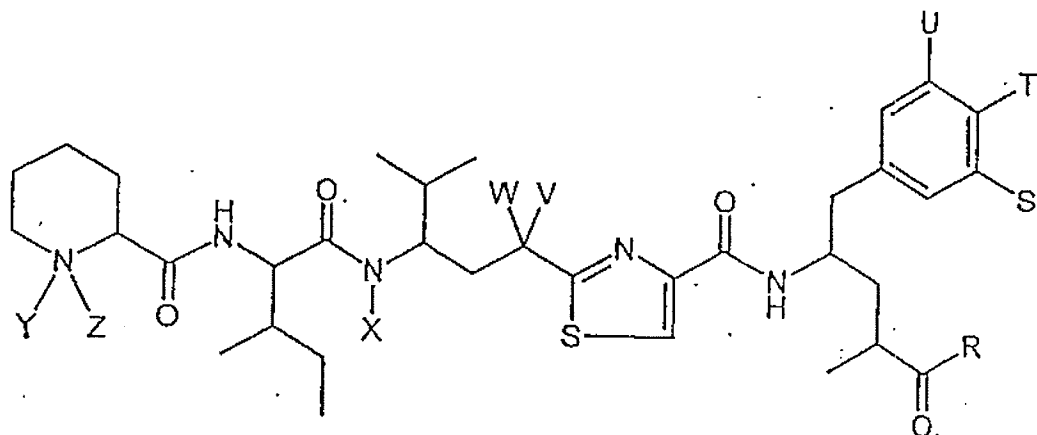


Formula II

wherein V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in a weakly alkaline medium, thereby preparing the compound of formula I having the indicated meanings.

8. (Withdrawn) The process according to claim 7, wherein the ester cleavage is carried out in an organic medium, especially a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a weak base, especially NH₃.

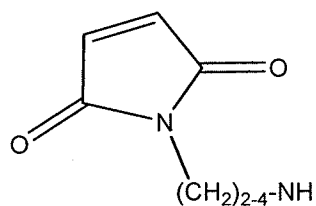
9. (Withdrawn) A process for the preparation of a compound of the general formula I (type 10)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

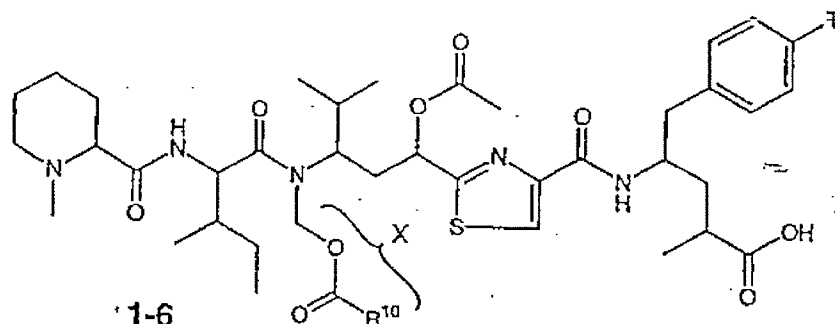
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = H, W = H, X = H, Y = free electron pair and Z = CH₃, wherein a compound of the general formula II (type 1, 2, 3, 4, 5 or 6)

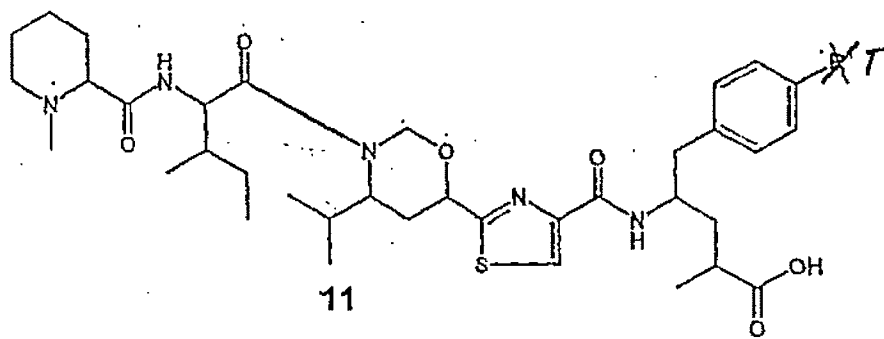


Formula II

wherein V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, and which otherwise has the meanings indicated above is subjected to double ester cleavage in a strongly alkaline medium, thereby preparing the compound of formula I having the indicated meanings.

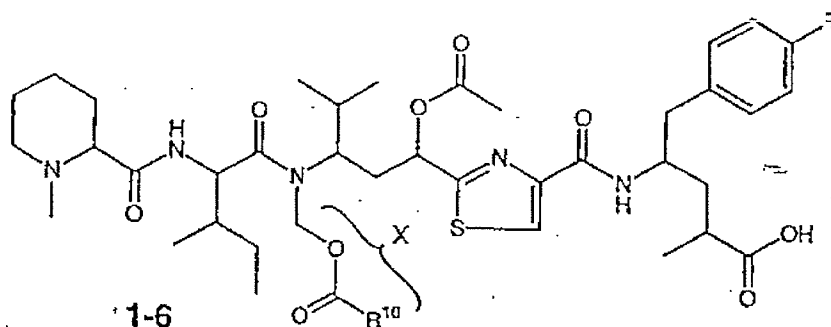
10. (Withdrawn) The process according to claim 9, wherein the double ester cleavage is carried out in an organic medium, especially in a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a strong base, especially an alkali metal hydroxide, preferably sodium hydroxide.

11. (Withdrawn) A process for the preparation of a compound of formula III (type 11)



Formula III

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OR^4 , $R^4 = H$, V with $X = CH_2O$ bridge, $W = H$, $Y =$ free electron pair and $Z = CH_3$ in the general formula according to claim 1, wherein a compound of the general formula II (type 1, 2, 3, 4, 5 or 6)

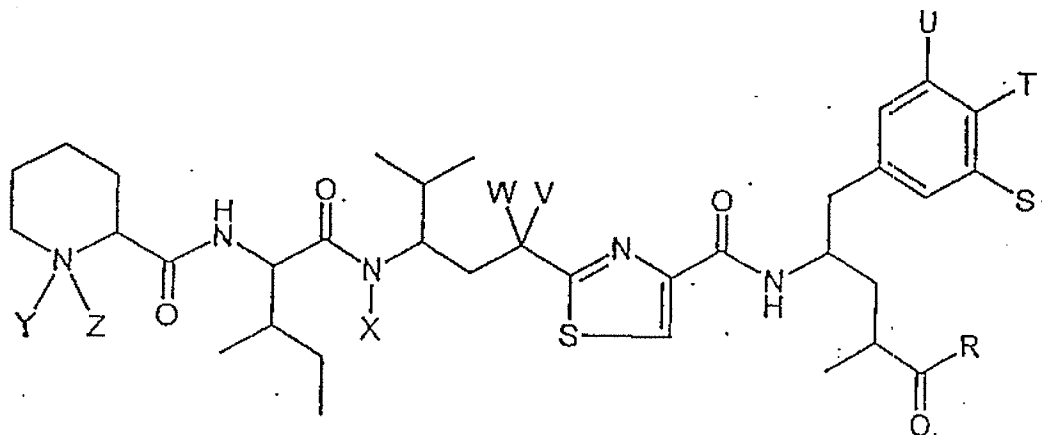


Formula II

wherein $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, $V = OR^7$, $R^7 = COR^8$, $R^8 =$ alkyl, preferably C_{1-4} alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ring formation with double ester cleavage in an acidic medium, thereby preparing the compound of formula III having the indicated meanings.

12. (Withdrawn) The process according to claim 11, wherein the ring formation is carried out in an aqueous medium, in the presence of an inorganic acid, preferably hydrochloric acid, and with heating.

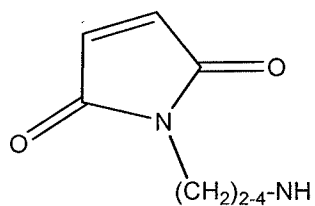
13. (Withdrawn) A process for the preparation of a compound of formula I (type 12)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

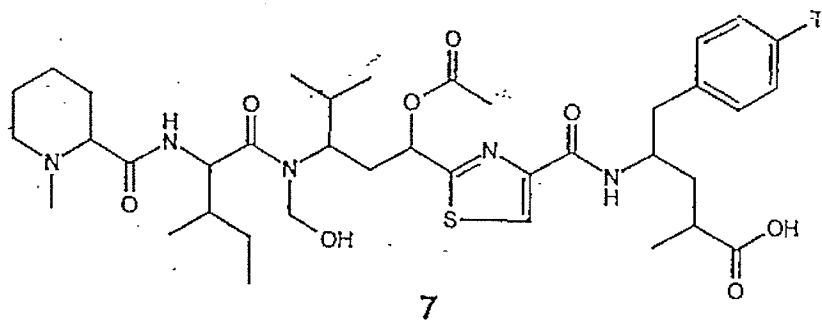
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = COR⁵, R⁵ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = R⁵, Y = free electron pair and Z = CH₃, wherein a compound of formula IV (type 7):

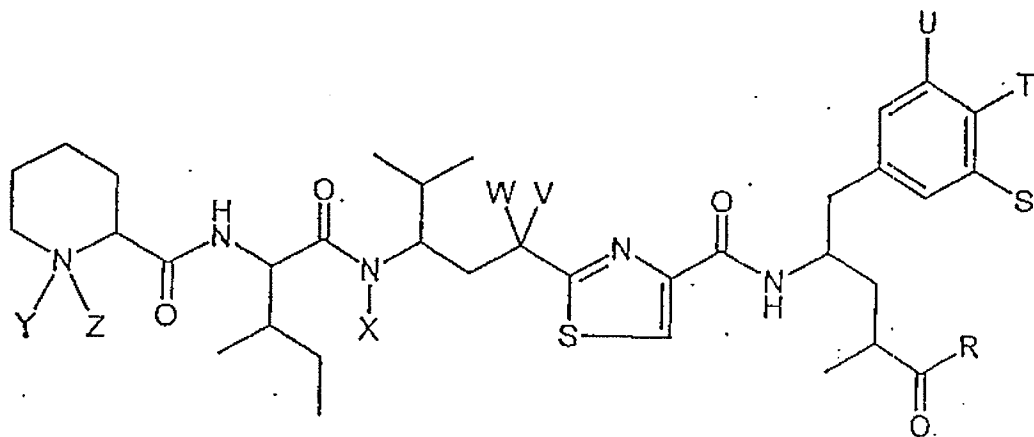


Formula IV

wherein X = CH₂OR⁹, R⁹ = H and which otherwise has the meanings indicated above is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

14. (Withdrawn) The process according to claim 13, wherein the acylation is carried out using an acyl halide, especially an acyl chloride, and/or in the presence of a weak base, especially a weak organic base, preferably a tertiary amine, especially triethylamine.

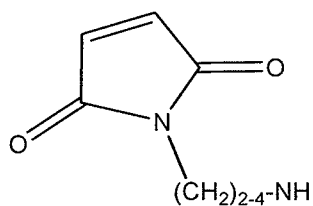
15. (Withdrawn) A process for the preparation of a compound of formula I (type 13)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

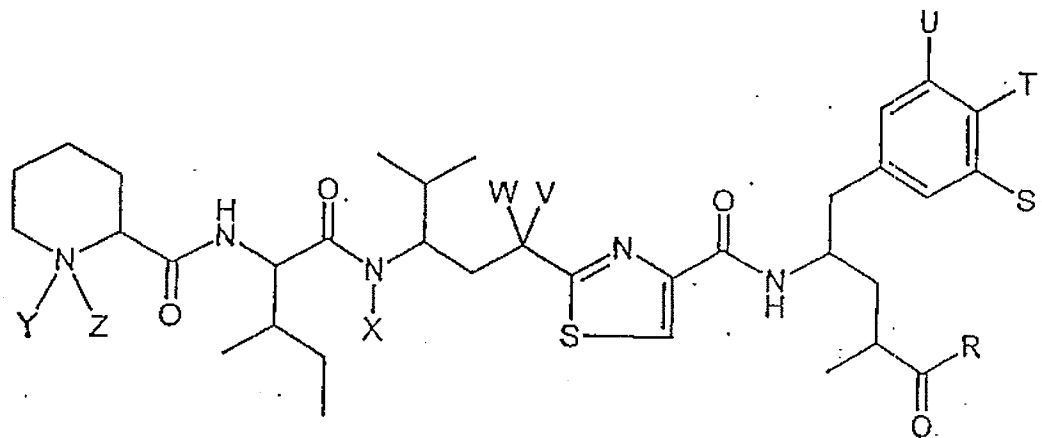
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH³, wherein hydrolysis is carried out in an alkaline medium on the compound of Formula I wherein T = OR⁴, R⁴ = COR⁵ and R⁵ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl and which otherwise has the meanings indicated above, thereby preparing a compound of formula I having the indicated meanings.

16. (Withdrawn) The process according to claim 15, wherein the hydrolysis is carried out using ammonia.

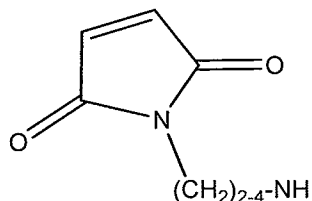
17. (Withdrawn) A process for the preparation of a compound of formula I (type 14)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

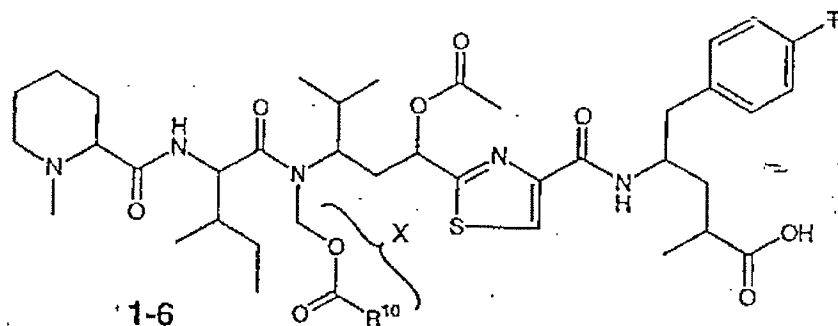
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z= (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl. W = H, X = CH₂OR⁹, R⁹ = alkyl, especially C₁₋₄ alkyl, alkenyl or aryl, Y = free electron pair and Z = CH₃, wherein a starting compound of formula II (type 1, 2, 3, 4, 5 or 6)



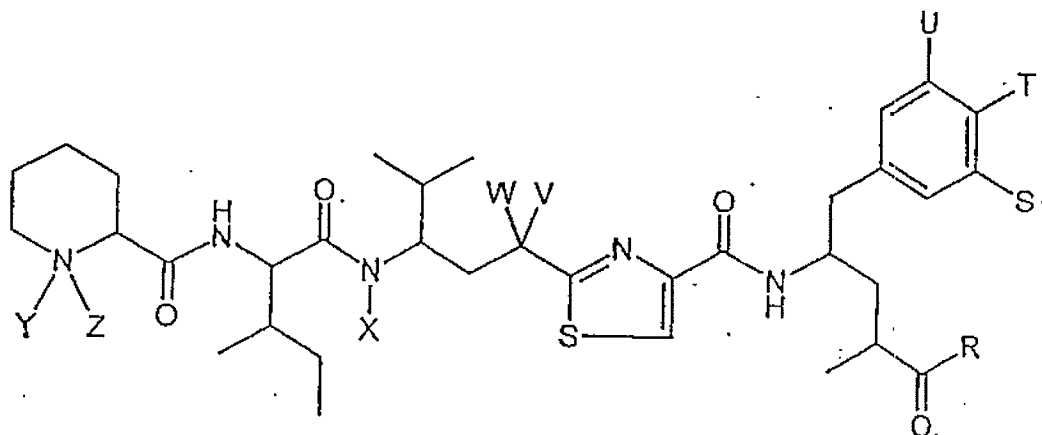
Formula II

is subjected to ester cleavage and is alkylated, thereby preparing the compound of formula I having the indicated meanings.

18. (Withdrawn) The process according to claim 17, wherein the reaction is carried out using an alkylating agent of formula R⁹OH wherein R⁹ = alkyl, especially C₁₋₄alkyl, alkenyl or aryl.

19. (Withdrawn) The process according to claim 17, wherein the reaction is carried out in the presence of p-CH₃-C₆H₄SO₂OH in tetrahydrofuran (THF) at elevated temperature.

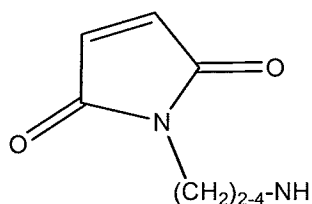
20. (Withdrawn) A process for the preparation of a compound of formula I (type 15)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

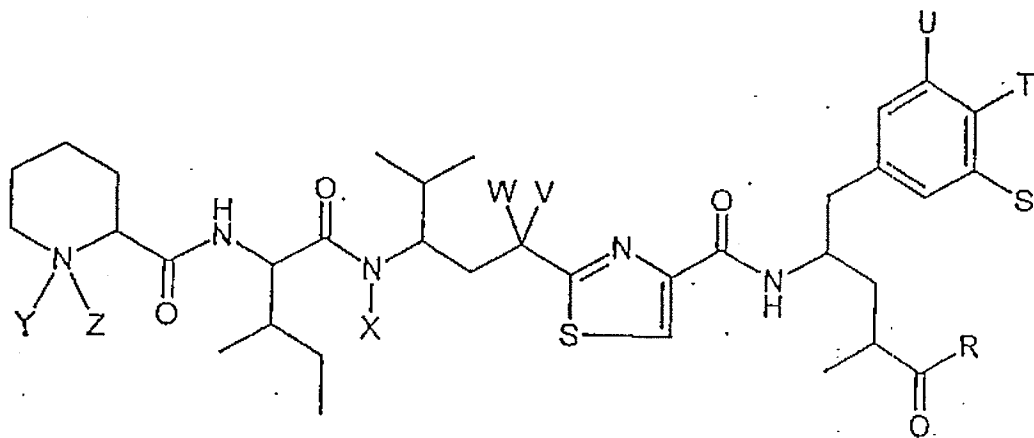
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = H V = OR⁷, R⁷ = H or COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₃, Y = free electron pair and Z = CH₃, wherein a compound of Formula I (type 7) wherein X = CH₂,OR⁹, R⁹ = H and which otherwise has the meanings indicated above is subjected to reduction, thereby preparing the compound of formula I having the indicated meanings.

21. (Withdrawn) The process according to claim 20, wherein the reduction is carried out using NaCNBH₃ and trifluoroacetic acid in methanol (MeOH).

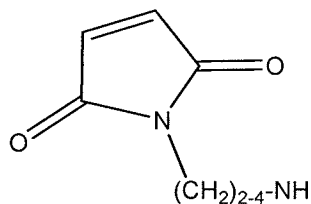
22. (Withdrawn) A process for the preparation of a compound of formula I (type 15)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

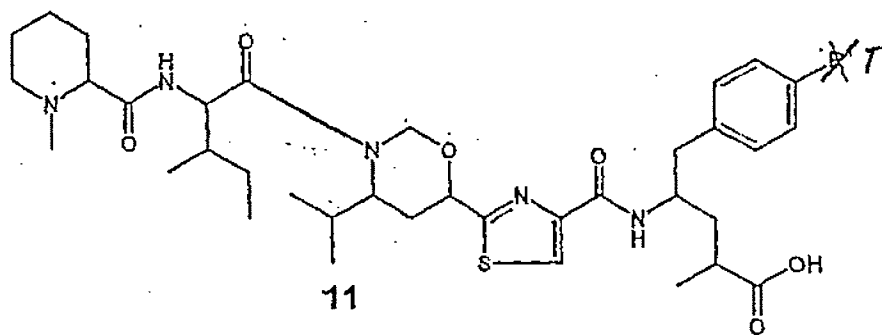
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OR^4 , $R^4 = H$, $V = OR^7$, $R^7 = H$ or COR^8 , $R^8 =$ alkyl, especially C_{1-4} alkyl, especially methyl, $W = H$, $X = CH_3$, $Y =$ free electron pair and $Z = CH_3$, wherein a compound of the general formula III (type 11)

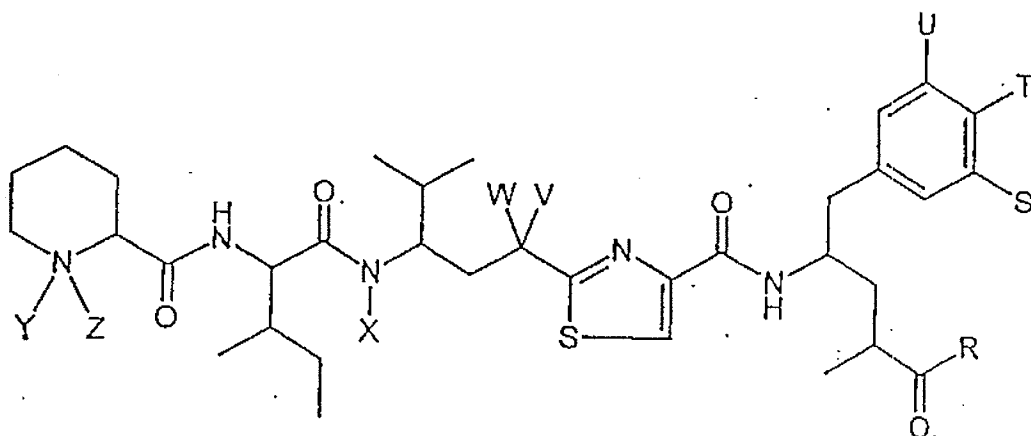


Formula III

is subjected to ring opening with reduction or to reduction with ring opening, thereby preparing the compound of formula I having the indicated meanings.

23. (Withdrawn) The process according to claim 22, wherein the reaction is carried out in the presence of $NaCNBH_3$ and Me_3SiCl in acetonitrile (CH_3CN).

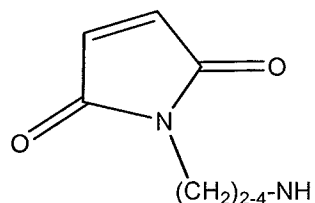
24. (Withdrawn) A process for the preparation of a compound of formula I (type 16)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H$, alkyl, aryl, OR^1 , NR^1R^2 or



$\text{R}^1 = \text{H}$, alkyl or aryl

$\text{R}^2 = \text{H}$, alkyl or aryl

$\text{S} = \text{H}$, Hal, NO_2 or NHR^3

$\text{U} = \text{H}$, Hal, NO_2 or NHR^3

$\text{R}^3 = \text{H}$, HCO or alkyl-CO

$\text{T} = \text{H}$ or OR^4

$\text{R}^4 = \text{H}$, alkyl, aryl, COR^5 , $\text{P}(\text{O})(\text{OR}^6)_2$ or SO_3R^6

$\text{R}^5 = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$, alkyl or a metal ion

$\text{V} = \text{H}$, OR^7 , Hal or (with $\text{W} = \text{O}$) O

$\text{R}^7 = \text{H}$, alkyl or COR^8

$\text{R}^8 = \text{alkyl}$, alkenyl or aryl

$\text{W} = \text{H}$ or alkyl or (with V) O

$\text{X} = \text{H}$, alkyl, alkenyl or CH_2OR^9

$\text{R}^9 = \text{H}$, alkyl, alkenyl, aryl or COR^{10}

$\text{R}^{10} = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl}$, CF_3 or aryl and/or

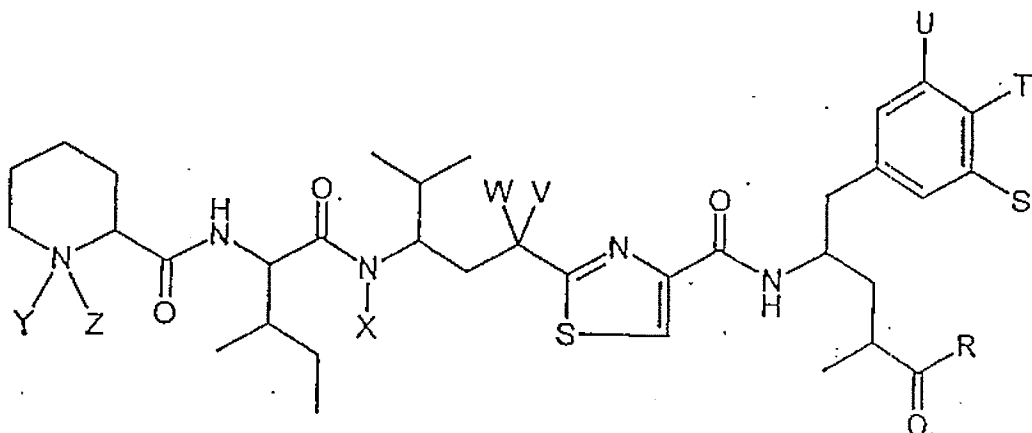
$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein $\text{R} = \text{OR}^1$, $\text{R}^1 = \text{H}$, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{H}$ or OH, $\text{V} = \text{OR}^7$, $\text{R}^7 = \text{COR}^8$, $\text{R}^8 = \text{alkyl}$, especially C_{1-4} alkyl, alkenyl or aryl, $\text{W} = \text{H}$, $\text{X} = \text{CH}_2, \text{OR}^9$, $\text{R}^9 = \text{COR}^{10}$, $\text{R}^{10} = \text{alkyl}$, especially C_{1-6} alkyl, or

alkenyl, Y = free electron pair and Z = CH₃, wherein the compound of Formula I (type 9) wherein V = OR⁷ and R⁷ = H and which otherwise has the meanings indicated above is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

25. (Withdrawn) The process according to claim 24, wherein the acylation is carried out using an acyl halide of formula R⁸COCl wherein R⁸ = alkyl, especially C₁₋₄alkyl, alkenyl or aryl, especially an acyl chloride, and/or in the presence of a base, especially an organic base, preferably a trialkylamine, especially triethylamine.

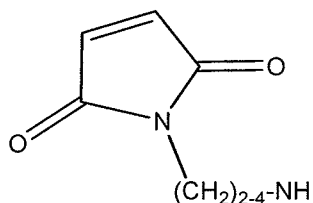
26. (Withdrawn) A process for the preparation of a compound of formula I (type 17)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



$\text{R}^1 = \text{H}$, alkyl or aryl

$\text{R}^2 = \text{H}$, alkyl or aryl

$\text{S} = \text{H}$, Hal, NO_2 or NHR^3

$\text{U} = \text{H}$, Hal, NO_2 or NHR^3

$\text{R}^3 = \text{H}$, HCO or alkyl-CO

$\text{T} = \text{H}$ or OR^4

$\text{R}^4 = \text{H}$, alkyl, aryl, COR^5 , $\text{P}(\text{O})(\text{OR}^6)_2$ or SO_3R^6

$\text{R}^5 = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$, alkyl or a metal ion

$\text{V} = \text{H}$, OR^7 , Hal or (with $\text{W} = \text{O}$) O

$\text{R}^7 = \text{H}$, alkyl or COR^8

$\text{R}^8 = \text{alkyl}$, alkenyl or aryl

$\text{W} = \text{H}$ or alkyl or (with V) O

$\text{X} = \text{H}$, alkyl, alkenyl or CH_2OR^9

$\text{R}^9 = \text{H}$, alkyl, alkenyl, aryl or COR^{10}

$\text{R}^{10} = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl}$, CF_3 or aryl and/or

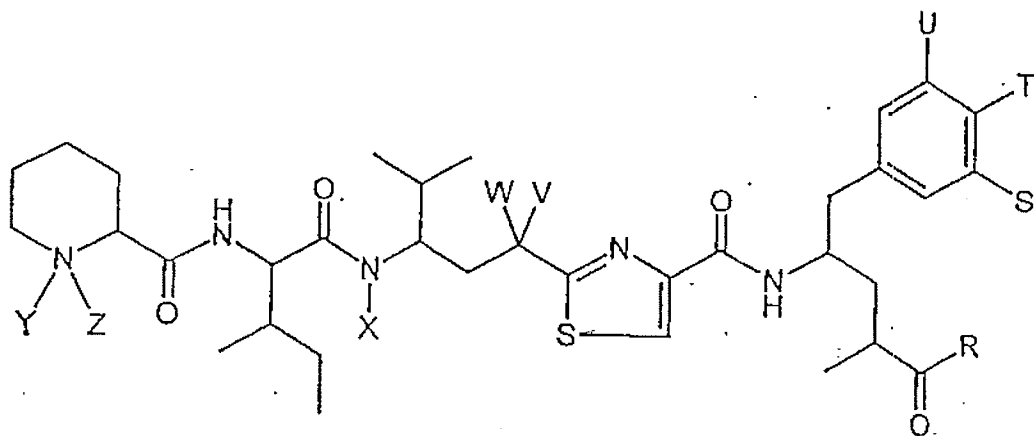
$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein $\text{R} = \text{OR}^1$, $\text{R}^1 = \text{H}$, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{H}$ or OR^4 , $\text{R}^4 = \text{H}$, $\text{V} = \text{H}$ or F, $\text{W} = \text{H}$, $\text{X} = \text{CH}_2\text{OR}^9$, $\text{R}^9 = \text{COR}^{10}$, $\text{R}^{10} = \text{alkyl}$, especially C_{1-6} alkyl, or alkenyl, $\text{Y} = \text{free electron pair}$ and $\text{Z} = \text{CH}_3$,

wherein the compound of Formula I (type 9) wherein $V = OR^7$ and $R^7 = H$ and which otherwise has the meanings indicated above is subjected to catalytic hydrogenation or fluorination, thereby preparing the compound of formula I having the indicated meanings.

27. (Withdrawn) The process according to claim 26, wherein, for $V = H$, the hydrogenation is carried out using palladium-on-carbon in the presence of acetic acid and, for $V = F$, the fluorination is carried out using DAST in tetrahydrofuran.

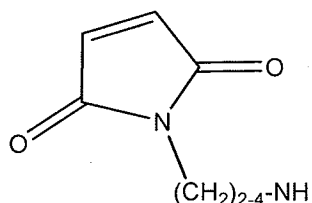
28. (Withdrawn) A process for the preparation of a compound of formula (type 18)



Formula I

wherein R, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , S, T, U, V, W, X, Y and Z have the following meanings:

$R = H$, alkyl, aryl, OR^1 , NR^1R^2 or



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or } \dot{\text{C}}\text{OR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

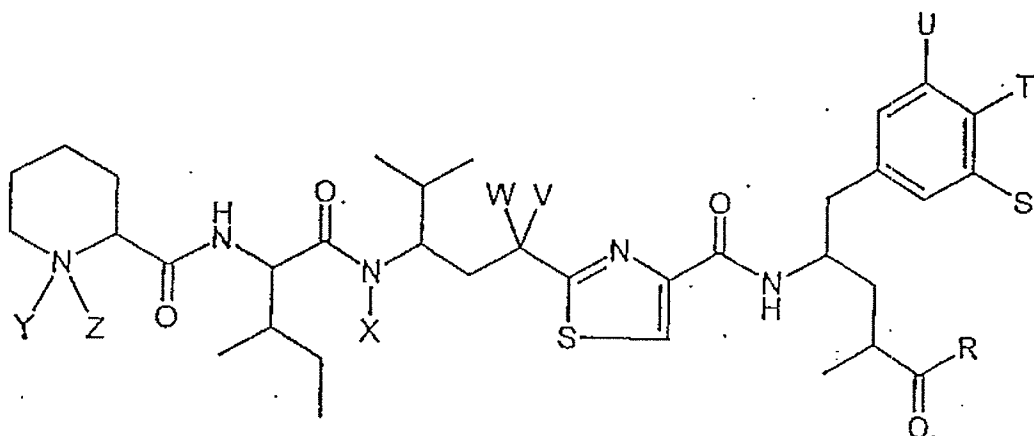
$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{H}$, $S = U = \text{H}$, $T = \text{H or OR}^4$, $R^4 = \text{H}$, V with $W = \text{O}$, $X = \text{CH}_2\text{OR}^9$, $R^9 = \text{COR}^{10}$, $R^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, or alkenyl}$, $Y = \text{free electron pair and Z = CH}_3$, wherein the compound of Formula I (type 9) wherein $V = \text{OR}^7$ and $R^7 = \text{H}$ and which otherwise has the meanings indicated above is subjected to oxidation with formation of a ketone, thereby preparing the compound of formula I having the indicated meanings.

29. (Withdrawn) The process according to claim 28, wherein the oxidation is carried out in the presence of TPAP and NMO in dichloromethane.

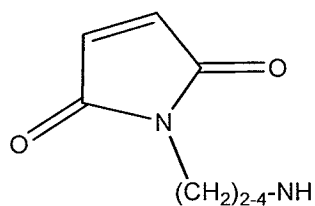
30. (Withdrawn) A process for the preparation of a compound of formula I (type 19)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

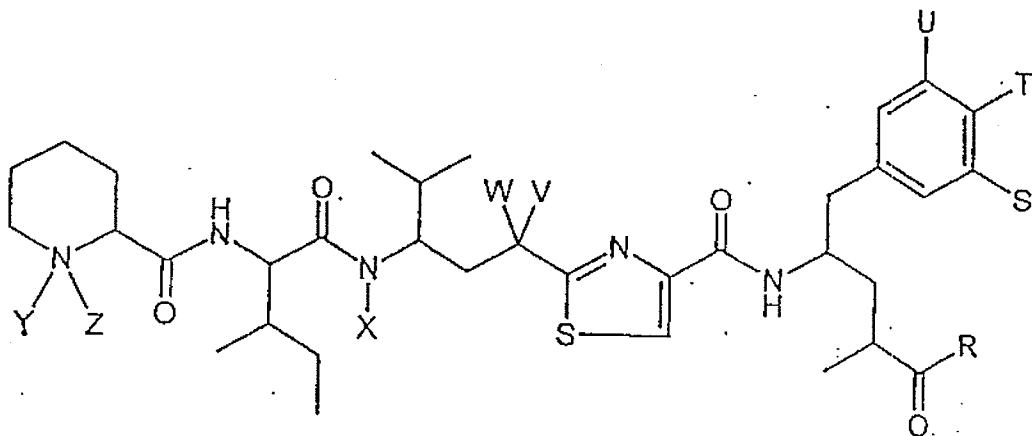
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = H, W = alkyl, especially C₁₋₄alkyl, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, or alkenyl, Y = free electron pair and Z = CH₃, wherein the compound of Formula I (type 18) is reacted with a Grignard compound to form the compound of formula I having the indicated meanings.

31. (Withdrawn) The process according to claim 30, wherein the reaction is carried out using an organomagnesium compound of formula WMgHal wherein W = alkyl and especially C₁₋₄alkyl.

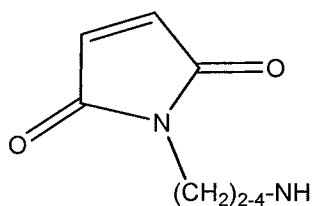
32. (Withdrawn) A process for the preparation of a compound of formula I (type 19)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

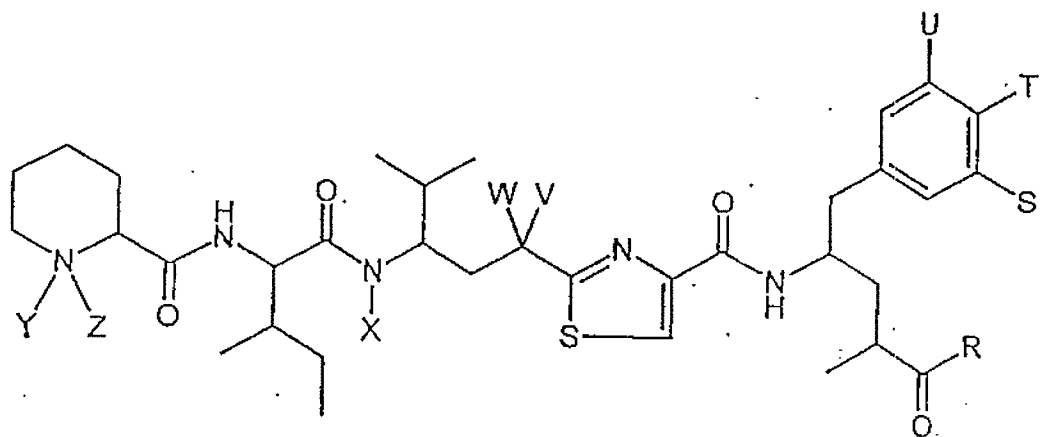
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OH , $V = OR^7$, $R^7 = H$, $W = \text{alkyl}$ and especially $C_{1-4}\text{alkyl}$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, or alkenyl , $Y = \text{free electron pair}$ and $Z = CH_3$, wherein

- (i) in a first step a process according to claim 28 is carried out and then
- (ii) in a second step a process according to claim 30 is carried out, thereby preparing the compound of formula I having the indicated meanings.

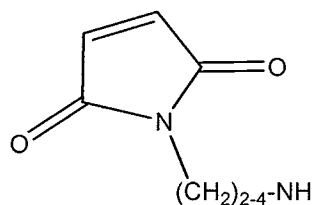
33. (Withdrawn) A process for the preparation of a compound of formula I (type 20)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H, \text{alkyl}, \text{aryl}, OR^1, NR^1R^2$ or



$R^1 = H, \text{alkyl}$ or aryl

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

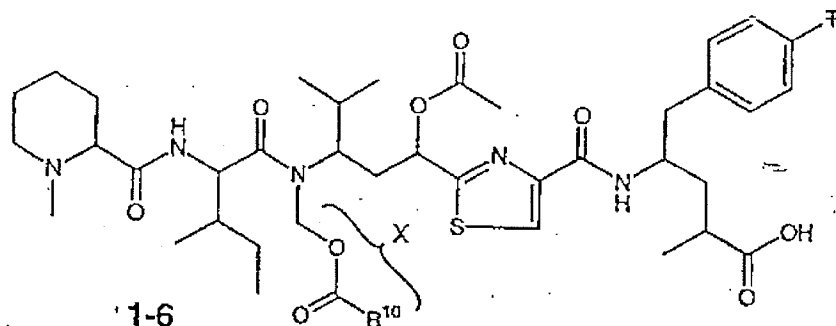
$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{alkyl, especially C}_{1-4}\text{alkyl, or alkenyl}$, $S = U = \text{H}$, $T = \text{H or OR}^4$, $R^4 = \text{H}$,
 $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl, preferably C}_{1-4}\text{alkyl, especially methyl}$, $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$,
 $R^9 = \text{COR}^{10}$, $R^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, alkenyl, especially C}_{2-6}\text{alkenyl, aryl or heteroaryl}$,
 $Y = \text{free electron pair and Z = CH}_3$, wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)

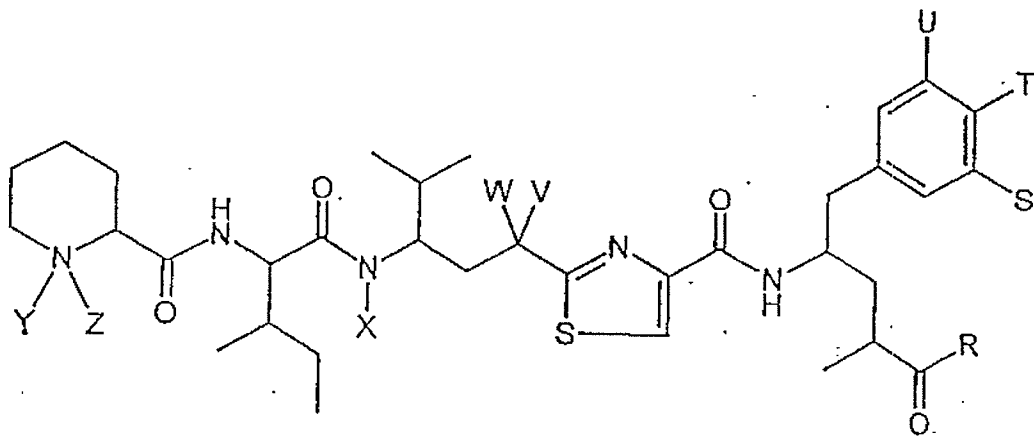


Formula II

or the compound of Formula I (type 13) is subjected to alkylation or alkenylation, thereby preparing the compound of formula I having the indicated meanings.

34. (Withdrawn) The process according to claim 33, wherein the alkylation or alkenylation is carried out in the presence of EDC, R^1OH wherein R^1 = alkyl, especially C_{1-4} alkyl, or alkenyl, and DMAP in methylene chloride.

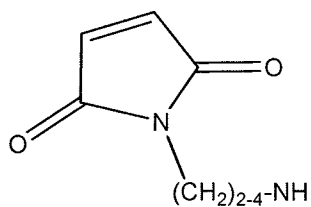
35. (Withdrawn) A process for the preparation of a compound of formula I (type 21)



Formula I

wherein R, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR^1 , NR^1R^2 or



R^1 = H, alkyl or aryl

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

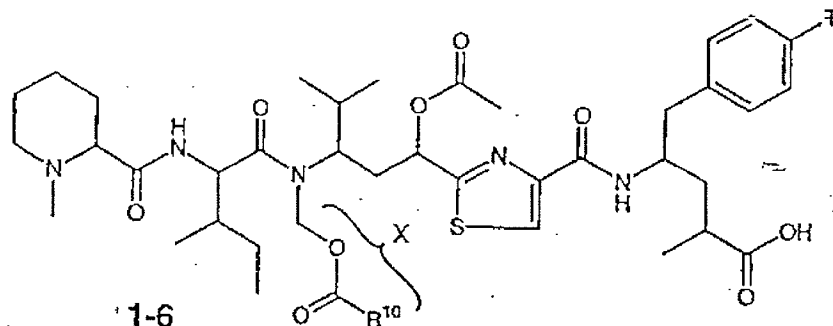
$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{NHR}^1, \text{NH-NR}^1\text{R}^2, \text{NHOR}^1 \text{ or NH}((\text{CH}_2)_{2-4}\text{NR}^1\text{R}^2, R^1 \text{ and } R^2, \text{ each independently of the other} = \text{H, alkyl, especially C}_{1-6}\text{alkyl, or aryl, S = U = H, T = H or OR}^4, R^4 = \text{H, V = OR}^7, R^7 = \text{COR}^8, R^8 = \text{alkyl, preferably C}_{1-4}\text{alkyl, especially methyl, W = H, X = CH}_2\text{OR}^9, R^9 = \text{COR}^{10}, R^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, alkenyl, especially C}_{2-6}\text{alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH}_3, \text{ wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)$



Formula II

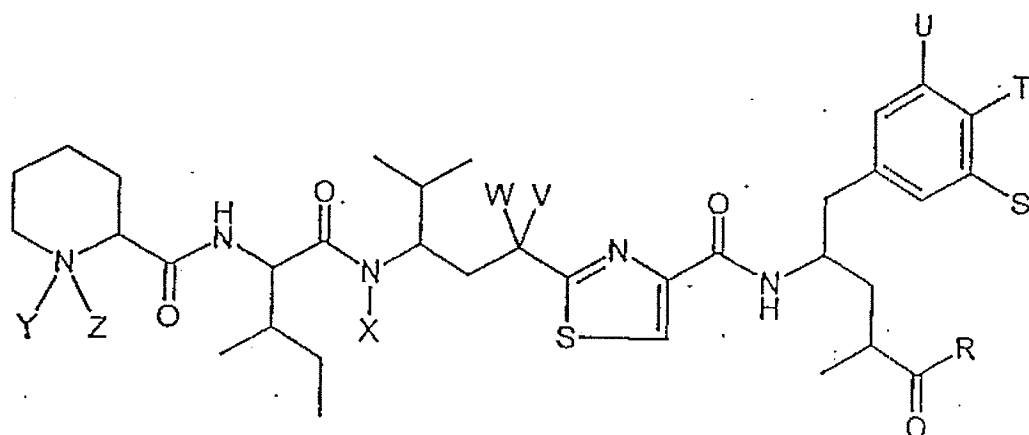
or the compound of Formula I (type 13) is subjected to amination using a compound of formula RH, R having the indicated meanings, thereby preparing the compound of formula I having the indicated meanings.

36. (Withdrawn) The process according to claim 35, wherein the reaction is carried out

(i) in the presence of EDC in methylene chloride or

(ii) in the presence of isobutyl chloroformate and triethylamine in THF.

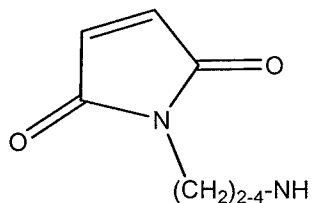
37. (Withdrawn) A process for the preparation of a compound of formula (type 22)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



$\text{R}^1 = \text{H}$, alkyl or aryl

$\text{R}^2 = \text{H}$, alkyl or aryl

$\text{S} = \text{H}$, Hal, NO_2 or NHR^3

$\text{U} = \text{H}$, Hal, NO_2 or NHR^3

$\text{R}^3 = \text{H}$, HCO or alkyl-CO

$\text{T} = \text{H}$ or OR^4

$\text{R}^4 = \text{H}$, alkyl, aryl, COR^5 , $\text{P}(\text{O})(\text{OR}^6)_2$ or SO_3R^6

$\text{R}^5 = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$, alkyl or a metal ion

$\text{V} = \text{H}$, OR^7 , Hal or (with $\text{W} = \text{O}$) O

$\text{R}^7 = \text{H}$, alkyl or COR^8

$\text{R}^8 = \text{alkyl}$, alkenyl or aryl

$\text{W} = \text{H}$ or alkyl or (with V) O

$\text{X} = \text{H}$, alkyl, alkenyl or CH_2OR^9

$\text{R}^9 = \text{H}$, alkyl, alkenyl, aryl or COR^{10}

$\text{R}^{10} = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

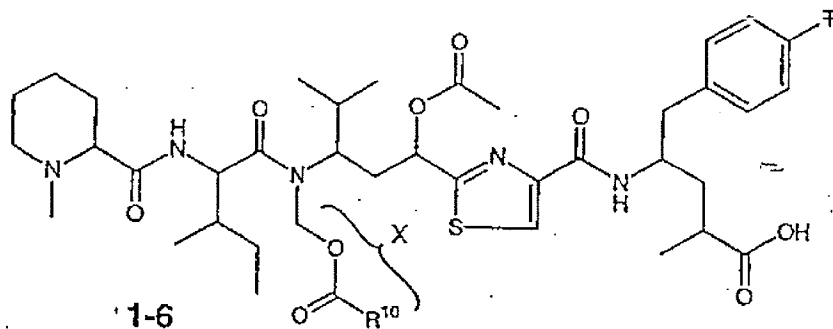
$\text{R}^{11} = \text{alkyl}$, CF_3 or aryl and/or

$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein $\text{R} = \text{alkyl}$, especially $\text{C}_{1-4}\text{alkyl}$, or alkenyl, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{H}$ or OR^4 , $\text{R}^4 = \text{H}$, $\text{V} = \text{OR}^7$,

$\text{R}^7 = \text{COR}^8$, $\text{R}^8 = \text{alkyl}$, preferably $\text{C}_{1-4}\text{alkyl}$, especially methyl, $\text{W} = \text{H}$, $\text{X} = \text{CH}_2\text{OR}^9$, $\text{R}^9 =$

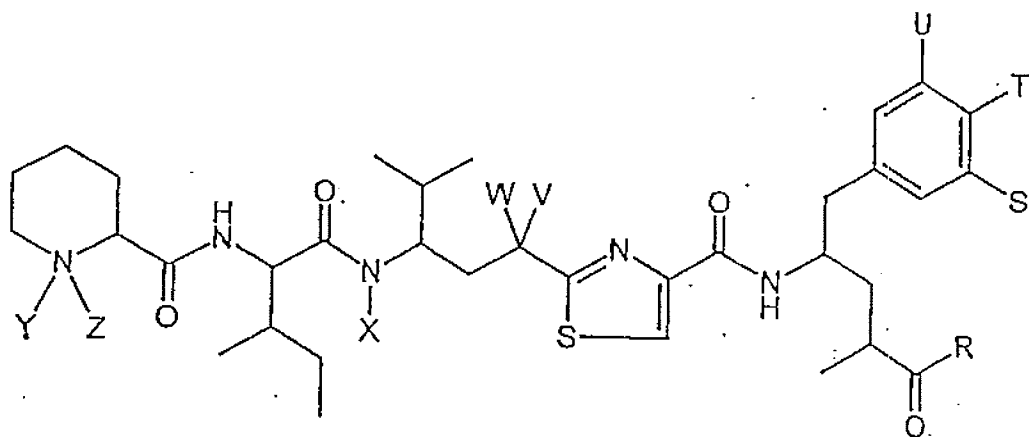
COR^{10} , R^{10} = alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH_3 , wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

or the compound of Formula I (type 13) is reacted with an organolithium compound of formula RLi having the indicated meaning for R, thereby preparing the compound of formula I having the indicated meanings.

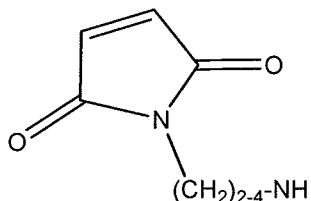
38. (Withdrawn) A process for the preparation of a compound of formula I (type 23)



Formula I

wherein R, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR^1 , NR^1R^2 or



$\text{R}^1 = \text{H}$, alkyl or aryl

$\text{R}^2 = \text{H}$, alkyl or aryl

$\text{S} = \text{H}$, Hal, NO_2 or NHR^3

$\text{U} = \text{H}$, Hal, NO_2 or NHR^3

$\text{R}^3 = \text{H}$, HCO or alkyl-CO

$\text{T} = \text{H}$ or OR^4

$\text{R}^4 = \text{H}$, alkyl, aryl, COR^5 , $\text{P}(\text{O})(\text{OR}^6)_2$ or SO_3R^6

$\text{R}^5 = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$, alkyl or a metal ion

$\text{V} = \text{H}$, OR^7 , Hal or (with $\text{W} = \text{O}$) O

$\text{R}^7 = \text{H}$, alkyl or COR^8

$\text{R}^8 = \text{alkyl}$, alkenyl or aryl

$\text{W} = \text{H}$ or alkyl or (with V) O

$\text{X} = \text{H}$, alkyl, alkenyl or CH_2OR^9

$\text{R}^9 = \text{H}$, alkyl, alkenyl, aryl or COR^{10}

$\text{R}^{10} = \text{alkyl}$, alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

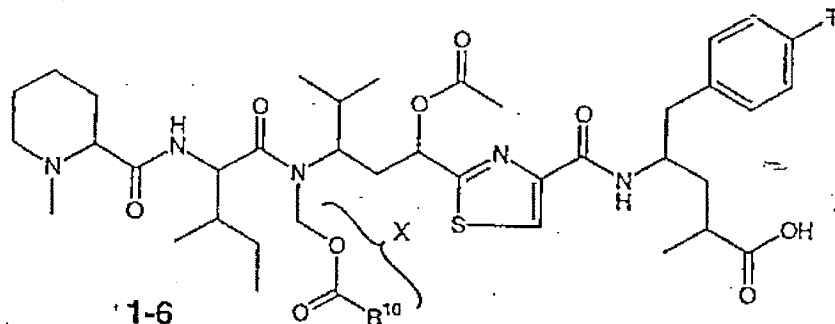
$\text{R}^{11} = \text{alkyl}$, CF_3 or aryl and/or

$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein R = amino radical of 1-(2-amino- C_{2-4} alkyl)-pyrrole -2,5-dione, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{H}$ or

OR^4 , $\text{R}^4 = \text{H}$, $\text{V} = \text{OR}^7$, $\text{R}^7 = \text{COR}^8$, $\text{R}^8 = \text{alkyl}$, preferably C_{1-4} alkyl, especially methyl, $\text{W} = \text{H}$, X

$= \text{CH}_2\text{OR}^9$, $\text{R}^9 = \text{COR}^{10}$, $\text{R}^{10} = \text{alkyl}$, especially $\text{C}_{1-6}\text{alkyl}$, alkenyl, especially $\text{C}_{2-6}\text{alkenyl}$, aryl or heteroaryl, $\text{Y} = \text{free electron pair}$ and $\text{Z} = \text{CH}_3$, wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)

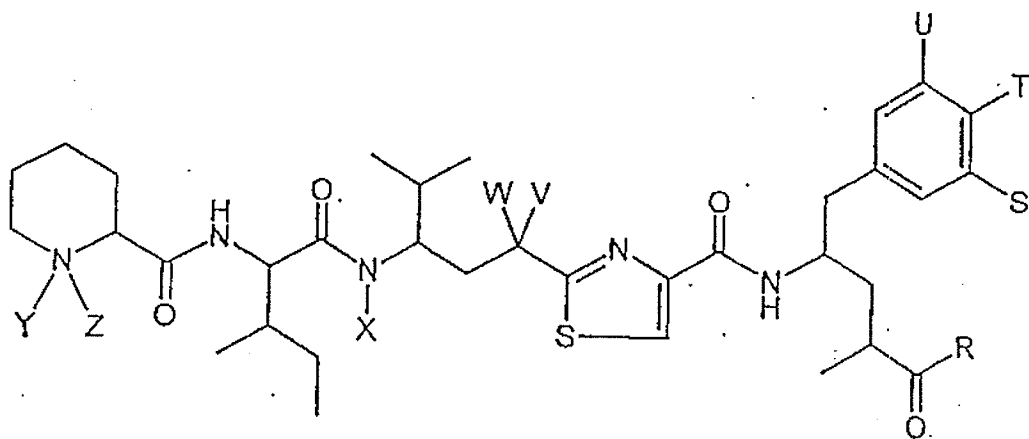


Formula II

or the compound of Formula I (type 13) is subjected to amination using 1-(2-amino- $\text{C}_{2-4}\text{alkyl}$)-pyrrole-2,5-dione, thereby preparing the compound of formula I having the indicated meanings.

39. (Withdrawn) The process according to claim 38, wherein the amination is carried out in the presence of EDC in methylene chloride.

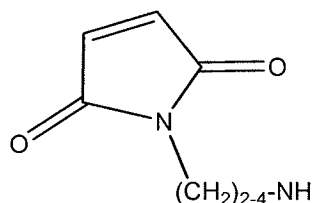
40. (Withdrawn) A process for the preparation of a compound of formula I (type 24)



Formula I

wherein R , R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , S , T , U , V , W , X , Y and Z have the following meanings:

$\text{R} = \text{H}$, alkyl, aryl, OR^1 , NR^1R^2 or



$\text{R}^1 = \text{H}, \text{alkyl or aryl}$

$\text{R}^2 = \text{H}, \text{alkyl or aryl}$

$\text{S} = \text{H}, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$\text{U} = \text{H}, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$\text{R}^3 = \text{H}, \text{HCO or alkyl-CO}$

$\text{T} = \text{H or OR}^4$

$\text{R}^4 = \text{H}, \text{alkyl}, \text{aryl}, \text{COR}^5, \text{P(O)(OR}^6)_2 \text{ or } \text{SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl}, \text{alkenyl}, \text{aryl or heteroaryl}$

$\text{R}^6 = \text{H}, \text{alkyl or a metal ion}$

$\text{V} = \text{H}, \text{OR}^7, \text{Hal or (with W = O) O}$

$\text{R}^7 = \text{H}, \text{alkyl or COR}^8$

$\text{R}^8 = \text{alkyl}, \text{alkenyl or aryl}$

$\text{W} = \text{H or alkyl or (with V) O}$

$\text{X} = \text{H}, \text{alkyl}, \text{alkenyl or CH}_2\text{OR}^9$

$\text{R}^9 = \text{H}, \text{alkyl}, \text{alkenyl}, \text{aryl or COR}^{10}$

$\text{R}^{10} = \text{alkyl}, \text{alkenyl}, \text{aryl or heteroaryl}$

$\text{Y} = (\text{for Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl}, \text{CF}_3 \text{ or aryl and/or}$

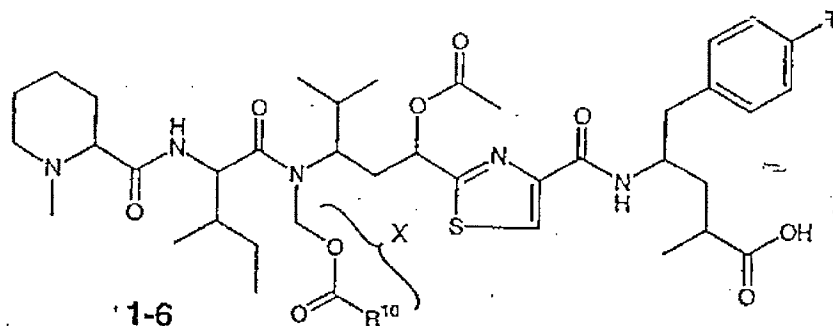
$\text{Z} = (\text{for Y} = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $\text{R} = \text{OR}^1$, $\text{R}^1 = \text{H}$, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{OR}^4$, $\text{R}^4 = \text{P(O)(OR}^6)_2$ wherein $\text{R}^6 = \text{H or alkyl}$,

especially $\text{C}_{1-4}\text{alkyl}$, or $\text{R}^4 = \text{SO}_3\text{R}^6$ wherein $\text{R}^6 = \text{H}$. $\text{V} = \text{OR}^7$, $\text{R}^7 = \text{COR}^8$, $\text{R}^8 = \text{alkyl}$, preferably

C₁₋₄alkyl, especially methyl, W = H X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, wherein

- (i) a compound of Formula II (type 1, 2 or 3)



Formula II

or

- (ii) the compound of Formula I (type 13)

is reacted with

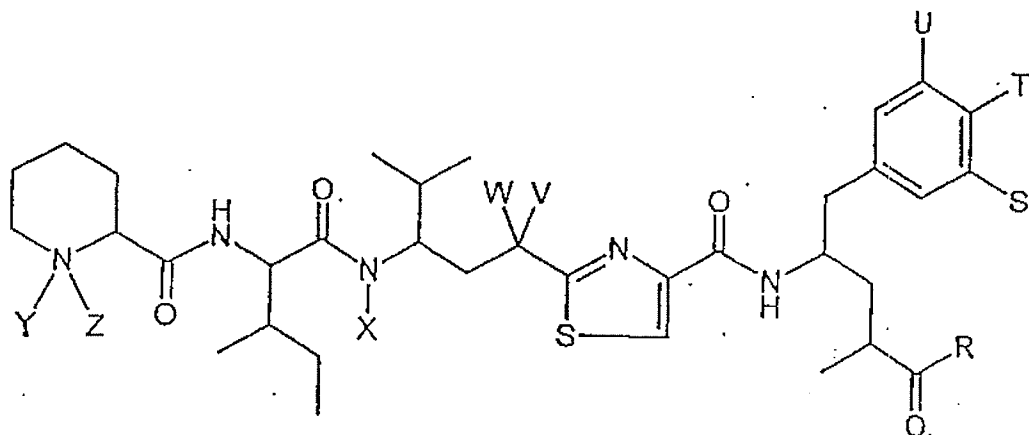
- (a) a compound of formula P(O)(OR⁶)₂OH wherein R⁶ = H or alkyl, especially C₁₋₄alkyl, or
(b) SO₃

thereby preparing the compound of formula I having the indicated meanings.

41. (Withdrawn) The process according to claim 40, wherein the variant (a) is carried out in the presence of I₂ and pyridine in methylene chloride.

42. (Withdrawn) The process according to claim 40, wherein the variant (b) is carried out using pyridine SO₃.

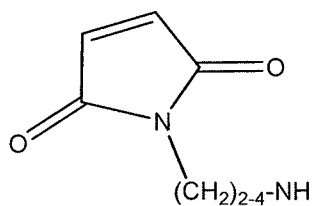
43. (Withdrawn) A process for the preparation of a compound of formula I (type 25)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

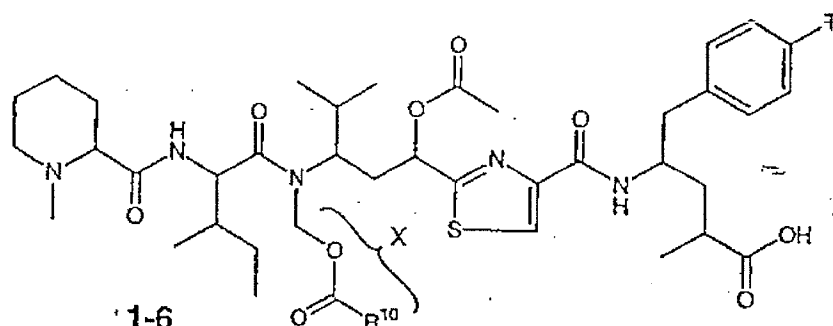
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = COR⁵, R⁵ = alkyl, especially C₁₋₄alkyl, alkenyl or N(R¹²)₂, R¹² = alkyl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, in which process

- (i) a compound of Formula II (type 1, 2 or 3)



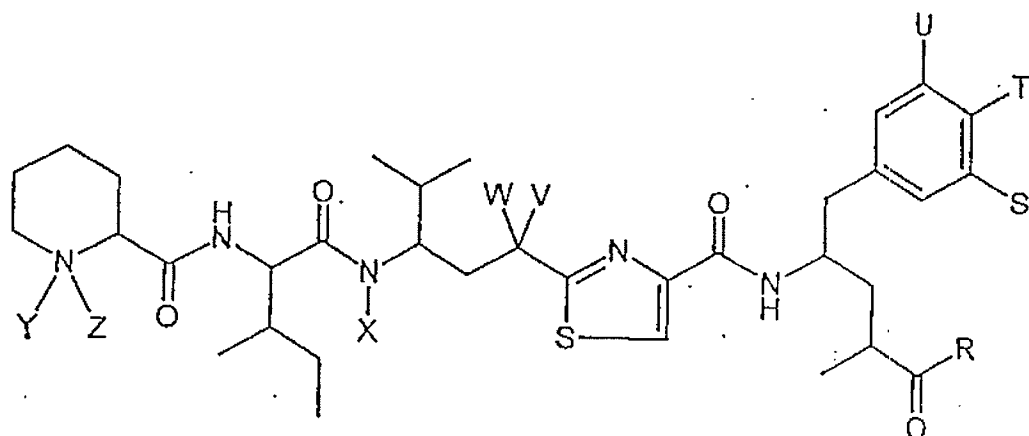
Formula II

or

- (ii) the compound of Formula II (type 13) is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

44. (Withdrawn) The process according to claim 43, wherein the acylation is carried out using an acyl halide of formula R⁵COCl wherein R⁵ = alkyl, especially C₁₋₄alkyl, alkenyl or N(R¹²)₂ and R¹² = alkyl, especially using an acyl chloride, in the presence of an organic base, especially a trialkylamine, preferably triethylamine, in an organic solvent, especially THF.

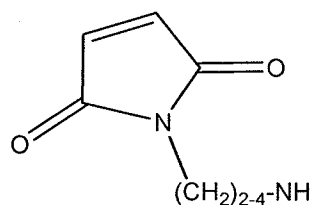
45. (Withdrawn) A process for the preparation of a compound of formula I (type 26)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

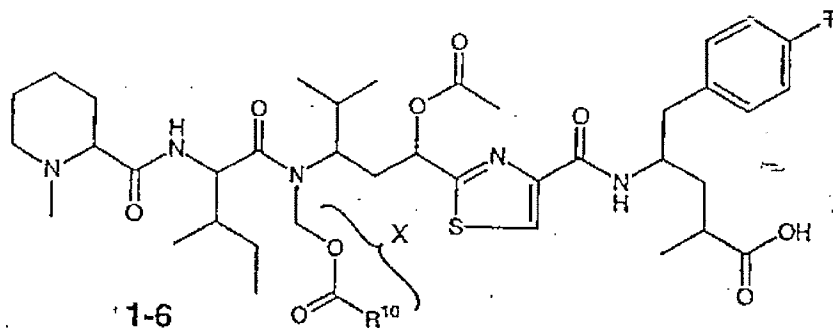
$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{alkyl, especially C}_{1-4}\text{alkyl, or alkenyl}$, $S = U = \text{H}$, $T = \text{OR}^4$, $R^4 = \text{alkyl, especially C}_{1-4}\text{alkyl, or alkenyl}$, $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl, preferably C}_{1-4}\text{alkyl, especially methyl}$, $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$, $R^9 = \text{COR}^{10}$, $R^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, alkenyl, especially C}_{2-6}\text{alkenyl, aryl or heteroaryl}$, $Y = \text{free electron pair}$ and $Z = \text{CH}_3$, wherein

- (i) a compound of Formula II (type 1, 2 or 3)



Formula II

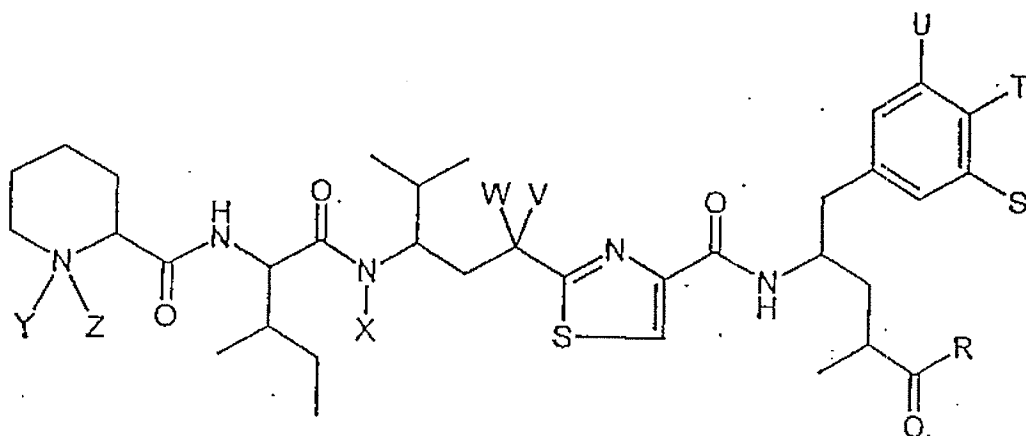
or

- (ii) the compound of Formula I (type 13) is subjected to alkylation, thereby preparing the compound of formula I having the indicated meanings.

46. (Withdrawn) The process according to claim 45, wherein the alkylation is carried out using an alkyl iodide of formula $R^4\text{I}$ wherein $R^4 = \text{alkyl, especially C}_{1-4}\text{alkyl, or alkenyl}$ in the presence of a weak base, especially Ag_2O , in an organic solvent, especially methylene chloride.

47. (Withdrawn) The process according to claim 45, wherein methylation is carried out using diazomethane in an organic solvent, especially methanol.

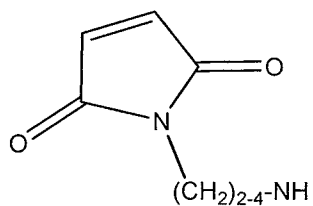
48. (Withdrawn) A process for the preparation of a compound of formula I (type 27)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

$R^3 = H, HCO \text{ or alkyl-CO}$

$T = H \text{ or } OR^4$

$R^4 = H, \text{ alkyl, aryl, } COR^5, P(O)(OR^6)_2 \text{ or } SO_3R^6$

$R^5 = \text{ alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = H, \text{ alkyl or a metal ion}$

$V = H, OR^7, \text{ Hal or (with } W = O) O$

$R^7 = H, \text{ alkyl or } COR^8$

$R^8 = \text{ alkyl, alkenyl or aryl}$

$W = H \text{ or alkyl or (with } V) O$

$X = H, \text{ alkyl, alkenyl or } CH_2OR^9$

$R^9 = H, \text{ alkyl, alkenyl, aryl or } COR^{10}$

$R^{10} = \text{ alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = CH_3 \text{ or } COR^{11}) \text{ free electron pair or (for } Z = CH_3) O$

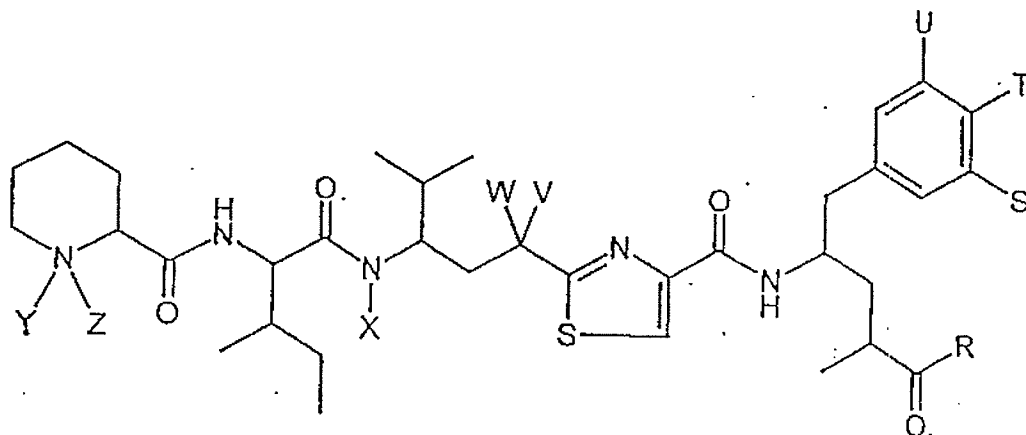
$R^{11} = \text{ alkyl, } CF_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = O \text{ or free electron pair}) CH_3 \text{ or (for } Y = \text{free electron pair}) COR^{11}$

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = OR^4$, $R^4 = \text{ alkyl, especially } C_{1-4}\text{alkyl, or alkenyl}$, $V = OR^7$, $R^7 = COR^8$, $R^8 = \text{ alkyl, preferably } C_{1-4}\text{alkyl, especially methyl}$, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{ alkyl, especially } C_{1-6}\text{alkyl, alkenyl, especially } C_{2-6}\text{alkenyl, aryl or heteroaryl}$, $Y = \text{ free electron pair and } Z = CH_3$, wherein the compound of Formula I (type 26) is subjected to partial dealkylation or dealkenylation enzymatically, thereby preparing the compound of formula I having the indicated meanings.

49. (Withdrawn) The process according to claim 48, wherein an esterase, especially pig liver esterase, is used as the enzyme.

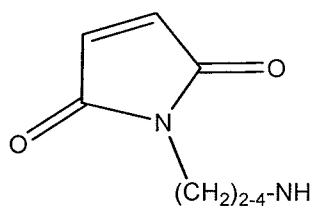
50. (Withdrawn) A process for the preparation of a compound of formula I (type 27)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

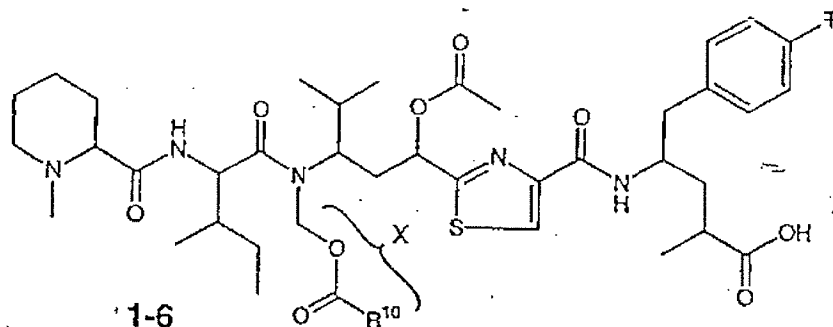
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = alkyl, especially C₁₋₄alkyl, or alkenyl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl. W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, wherein

(a) in a first step

(i) a compound of Formula II (type 1, 2 or 3)



Formula II

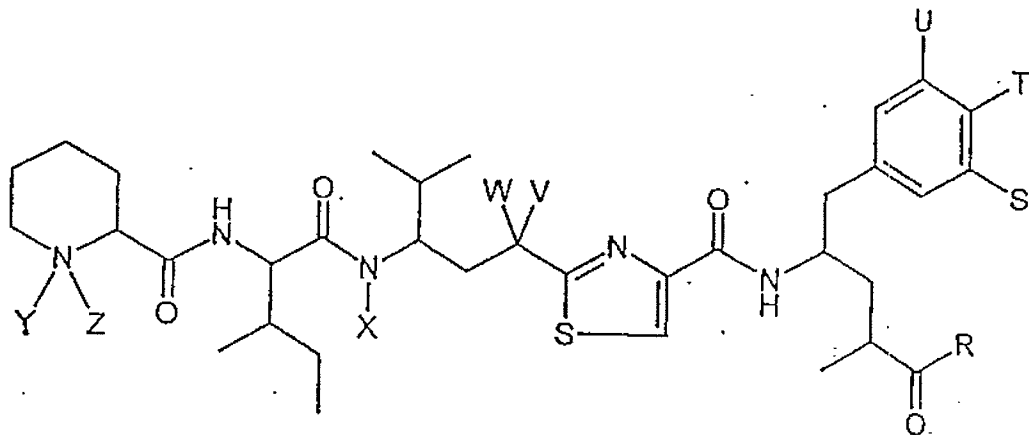
or

(ii) the compound of Formula I (type 13)

is subjected to a process according to claim 45-and

(b) in a second step a process according to claim 48 is carried out, thereby preparing the compound of formula I having the indicated meanings.

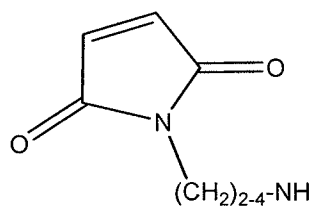
51. (Withdrawn) A process for the preparation of a compound of formula I (type 28 and 29)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

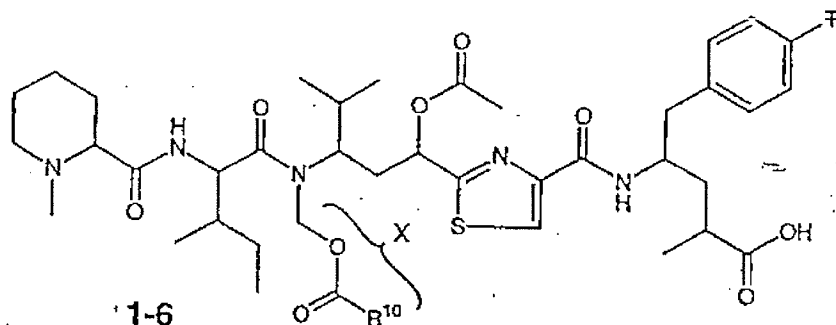
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H or Hal, T = OR⁴, R⁴ = H, U = Hal, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, wherein

(i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

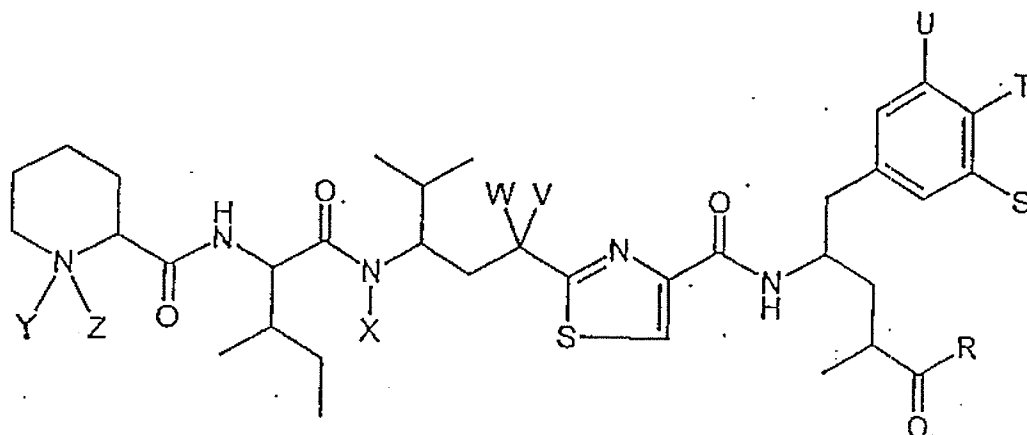
or

(ii) the compound of Formula I (type 13)

is subjected to halogenation or dihalogenation in the position ortho to the T substituent, thereby preparing the compound of formula I having the indicated meanings.

52. (Withdrawn) The process according to claim 51, wherein the halogenation is carried out in the presence of C₅Cl₅NF-triflate, SO₂, Cl₂, NBS and ICI

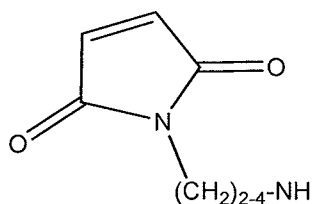
53. (Withdrawn) A process for the preparation of a compound of formula I (type 30)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

$V = H, OR^7, Hal$ or (with $W = O$) O

$R^7 = H, alkyl$ or COR^8

$R^8 = alkyl, alkenyl$ or $aryl$

$W = H$ or $alkyl$ or (with V) O

$X = H, alkyl, alkenyl$ or CH_2OR^9

$R^9 = H, alkyl, alkenyl, aryl$ or COR^{10}

$R^{10} = alkyl, alkenyl, aryl$ or $heteroaryl$

$Y =$ (for $Z = CH_3$ or COR^{11}) $free\ electron\ pair$ or (for $Z = CH_3$) O

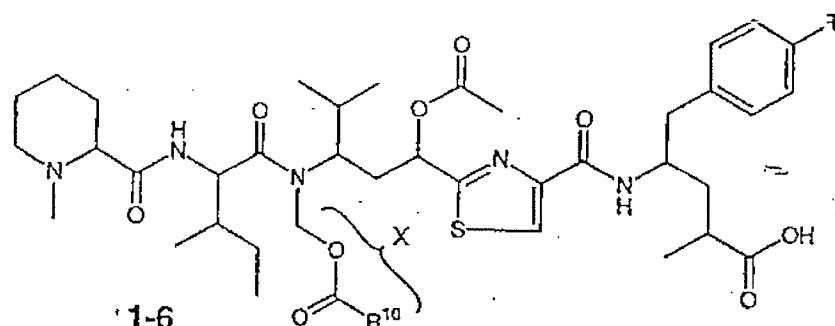
$R^{11} = alkyl, CF_3$ or $aryl$ and/or

$Z =$ (for $Y = O$ or $free\ electron\ pair$) CH_3 or (for $Y = free\ electron\ pair$) COR^{11}

wherein $R = OR^1, R^1 = H, S = H, T = OR^4, R^4 = H, U = NO_2, V = OR^7, R^7 = COR^8, R^8 = alkyl$, preferably $C_{1-4}alkyl$, especially $methyl$. $W = H, X = CH_2, OR^9, R^9 = COR^{10}, R^{10} = alkyl$, especially $C_{1-6}alkyl, alkenyl$, especially $C_{2-6}alkenyl, aryl$ or $heteroaryl, Y = free\ electron\ pair$ and

$Z = CH_3$, wherein

- (i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

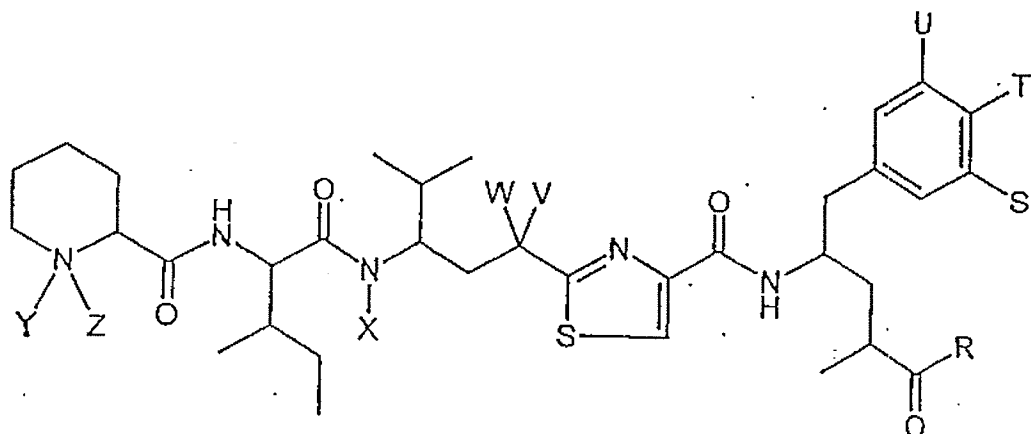
or

- (ii) the compound of Formula I (type 13)

is subjected to nitration in the position ortho to the T substituent, thereby preparing the compound of formula I having the indicated meanings.

54. (Withdrawn) The process according to claim 53, wherein the nitration is carried out using an alkali metal nitrite, especially sodium nitrite, and acetic acid in the presence of an organic solvent, especially ethanol.

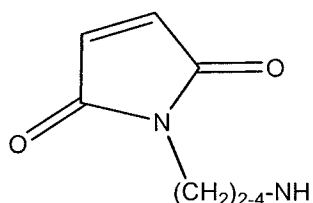
55. (Withdrawn) A process for the preparation of a compound of formula I (type 31)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

$R^6 = H$, alkyl or a metal ion

$V = H$, OR^7 , Hal or (with $W = O$) O

$R^7 = H$, alkyl or COR^8

$R^8 =$ alkyl, alkenyl or aryl

$W = H$ or alkyl or (with V) O

$X = H$, alkyl, alkenyl or CH_2OR^9

$R^9 = H$, alkyl, alkenyl, aryl or COR^{10}

$R^{10} =$ alkyl, alkenyl, aryl or heteroaryl

$Y =$ (for $Z = CH_3$ or COR^{11}) free electron pair or (for $Z = CH_3$) O

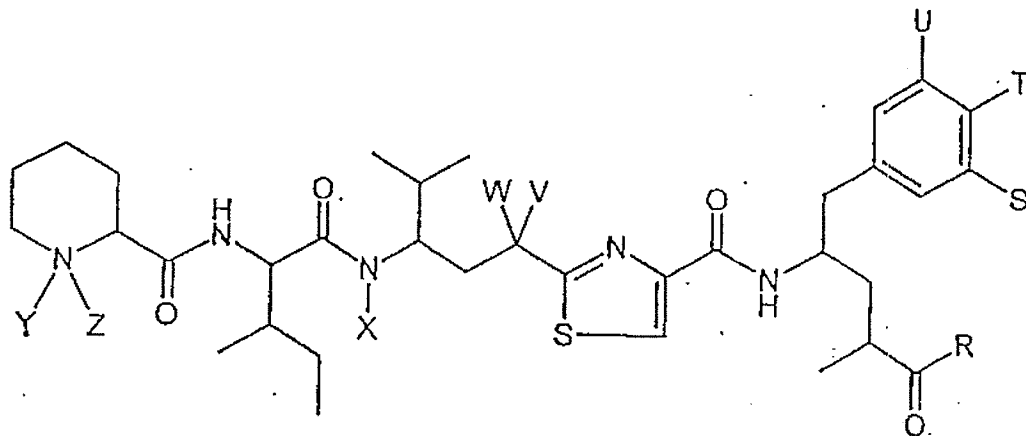
$R^{11} =$ alkyl, CF_3 or aryl and/or

$Z =$ (for $Y = O$ or free electron pair) CH_3 or (for $Y =$ free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = H$, $T = OR^4$, $R^4 = H$, $U = NH_2$, $V = OR^7$, $R^7 = COR^8$, $R^8 =$ alkyl, preferably C_{1-4} alkyl, especially methyl, $W =$ H, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, $Y =$ free electron pair and $Z = CH_3$, wherein the compound of Formula I (type 30) is subjected to catalytic reduction, thereby preparing the compound of formula I having the indicated meanings.

56. (Withdrawn) The process according to claim 55, wherein the reduction is carried out using elemental hydrogen in the presence of palladium on activated carbon, especially in an organic solvent, preferably ethanol.

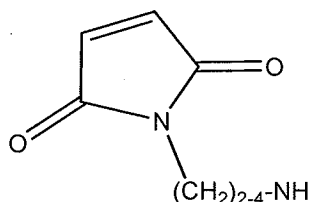
57. (Withdrawn) A process for the preparation of a compound of formula I (type 31)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

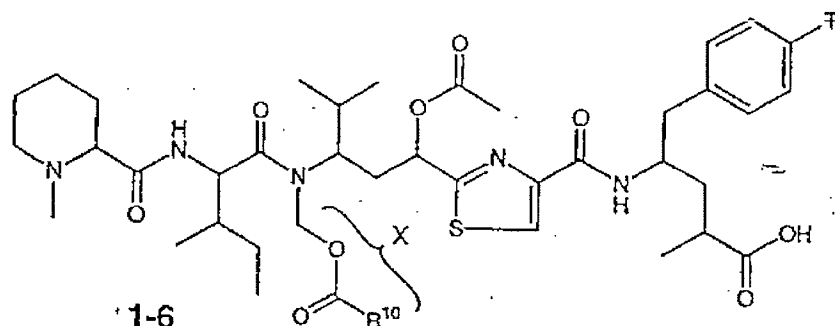
R¹¹ = alkyl, CF₃ or aryl and/or

Z= (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H, T = OR⁴, R⁴ = H, U = NH₂, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, preferably C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, wherein

(a) in a first step

(i) a compound of the Formula II (type 1, 2, 3, 4, 5 or 6)



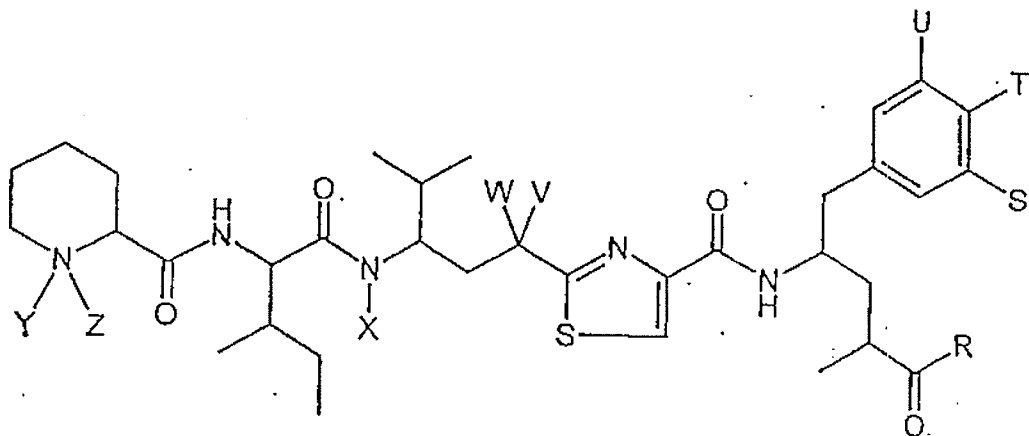
Formula II

or

(ii) the compound of Formula I (type 13) is subjected to a process according to claim 53 and

(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55, thereby preparing the compound of formula I having the indicated meanings.

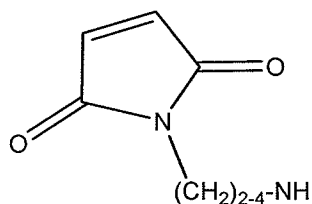
58. (Withdrawn) A process for the preparation of a compound of formula I (type 32)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

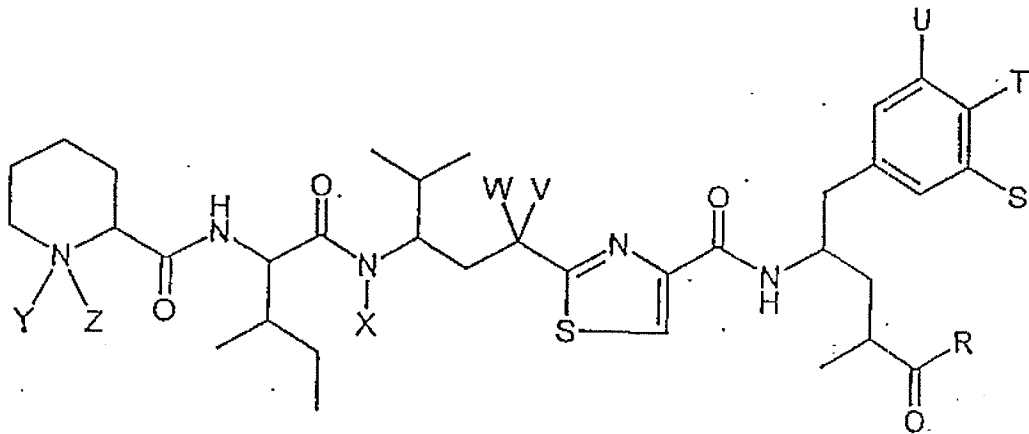
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein $R = OR^1$, $R^1 = H$, $S = H$, $T = OR^4$, $R^4 = H$, $U = NHR^3$, $R^3 = \text{alkyl-CO}$, especially $C_{1-4}\text{alkyl-CO}$, $V = OR^7$, $R^7 = COR^8$, $R^8 = \text{alkyl}$, preferably $C_{1-4}\text{alkyl}$, especially methyl, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, alkenyl, especially $C_{2-6}\text{alkenyl}$, aryl or heteroaryl, $Y = \text{free electron pair}$ and $Z = CH_3$, wherein the compound of Formula I (type 31) is subjected to alkylation, thereby preparing the compound of formula I having the indicated meanings.

59. (Withdrawn) The process according to claim 58, wherein the alkylation is carried out using an acid anhydride of formula $(R^3)_2O$ wherein $R^3 = \text{alkyl-CO}$, especially $C_{1-4}\text{alkyl-CO}$.

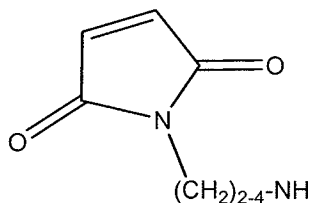
60. (Withdrawn) A process for the preparation of a compound of formula I (type 32)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H, \text{alkyl, aryl, } OR^1, NR^1R^2 \text{ or}$



$\text{R}^1 = \text{H}, \text{alkyl or aryl}$

$\text{R}^2 = \text{H}, \text{alkyl or aryl}$

$\text{S} = \text{H}, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$\text{U} = \text{H}, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$\text{R}^3 = \text{H}, \text{HCO or alkyl-CO}$

$\text{T} = \text{H or OR}^4$

$\text{R}^4 = \text{H}, \text{alkyl}, \text{aryl}, \text{COR}^5, \text{P}(\text{O})(\text{OR}^6)_2 \text{ or } \text{SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl}, \text{alkenyl}, \text{aryl or heteroaryl}$

$\text{R}^6 = \text{H}, \text{alkyl or a metal ion}$

$\text{V} = \text{H}, \text{OR}^7, \text{Hal or (with W = O) O}$

$\text{R}^7 = \text{H}, \text{alkyl or COR}^8$

$\text{R}^8 = \text{alkyl}, \text{alkenyl or aryl}$

$\text{W} = \text{H or alkyl or (with V) O}$

$\text{X} = \text{H}, \text{alkyl}, \text{alkenyl or CH}_2\text{OR}^9$

$\text{R}^9 = \text{H}, \text{alkyl}, \text{alkenyl}, \text{aryl or COR}^{10}$

$\text{R}^{10} = \text{alkyl}, \text{alkenyl}, \text{aryl or heteroaryl}$

$\text{Y} = (\text{for Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl}, \text{CF}_3 \text{ or aryl and/or}$

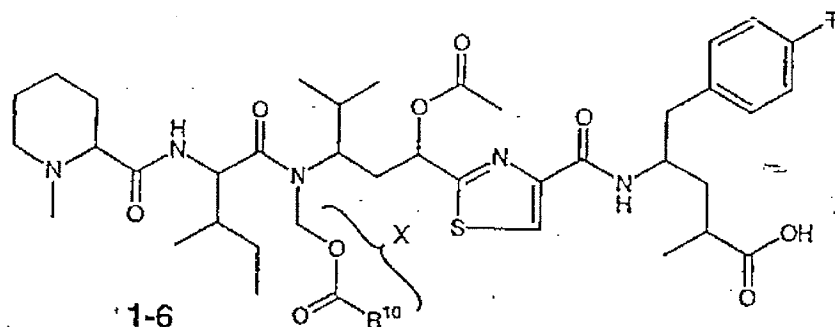
$\text{Z} = (\text{for Y} = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein $\text{R} = \text{OR}^1$, $\text{R}^1 = \text{H}$, $\text{S} = \text{H}$, $\text{T} = \text{OR}^4$, $\text{R}^4 = \text{H}$, $\text{U} = \text{NHR}^3$, $\text{R}^3 = \text{alkyl-CO}$, especially $\text{C}_{1-4}\text{alkyl-CO}$, $\text{V} = \text{OR}^7$, $\text{R}^7 = \text{COR}^8$, $\text{R}^8 = \text{alkyl}$, preferably $\text{C}_{1-4}\text{alkyl}$, especially methyl, $\text{W} = \text{H}$, $\text{X} =$

CH_2OR^9 , $\text{R}^9 = \text{COR}^{10}$, $\text{R}^{10} = \text{alkyl}$, especially $\text{C}_{1-6}\text{alkyl}$, alkenyl, especially $\text{C}_{2-6}\text{alkenyl}$, aryl or heteroaryl, wherein

(a) in an optional first step

(i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

or

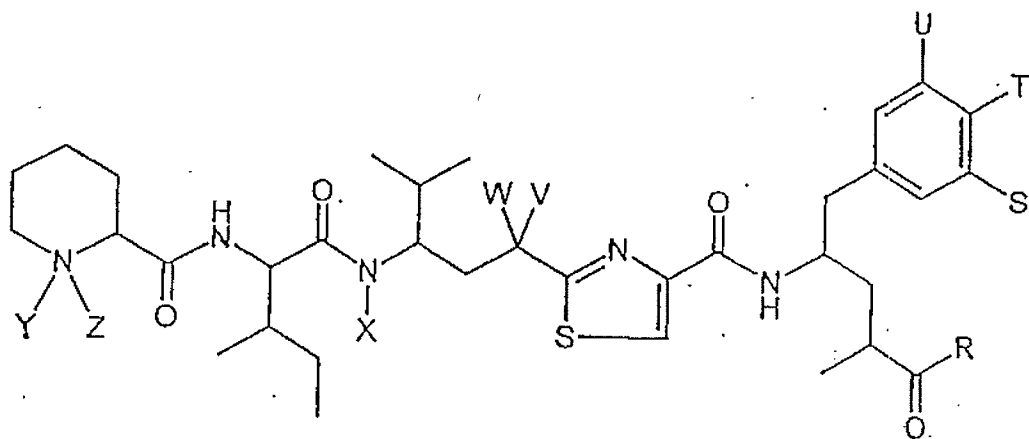
(ii) the compound of Formula I (type 13)

is subjected to a process according to claim 53,

(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55 and

(c) in a third step a process according to claim 58 is carried out, thereby preparing the compound of formula I having the indicated meanings.

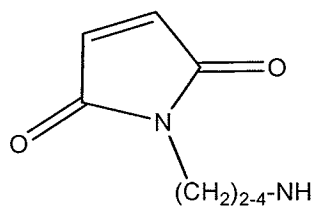
61. (Withdrawn) A process for the preparation of a compound of formula I (type 33)



Formula I

wherein R , R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , S , T , U , V , W , X , Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

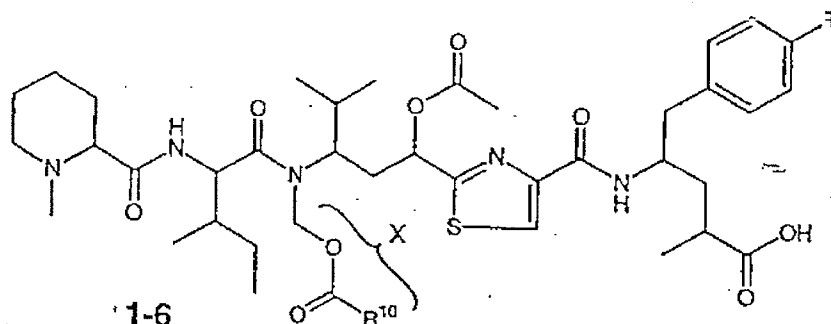
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = OR^4$, $R^4 = H$, $V = OR^7$, $R^7 = COR^8$, $R^8 = \text{alkyl}$, preferably $C_{1-4}\text{alkyl}$, especially methyl, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, alkenyl, especially $C_{2-6}\text{alkenyl}$, aryl or heteroaryl, $Y = O$ and $Z = CH_3$, wherein

- (i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

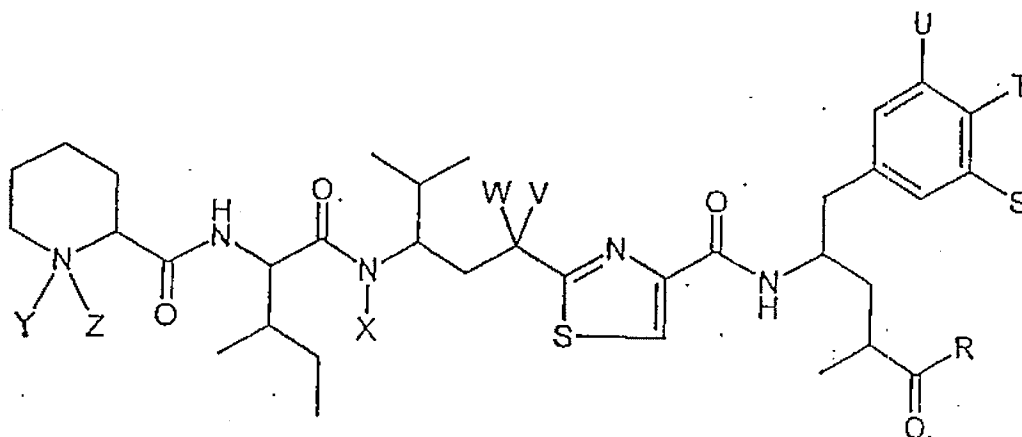
or

- (ii) the compound of Formula I (type 13)

is subjected to a reaction for formation of an N-oxide, thereby preparing the compound of formula I having the indicated meanings.

62. (Withdrawn) The process according to claim 61, wherein the N-oxide formation is carried out using mCPBA in an organic solvent, especially methylene chloride.

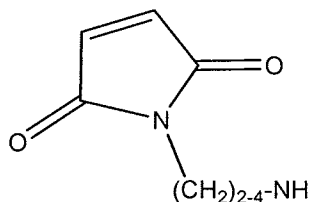
63. (Withdrawn) A process for the preparation of a compound of formula (type 34)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

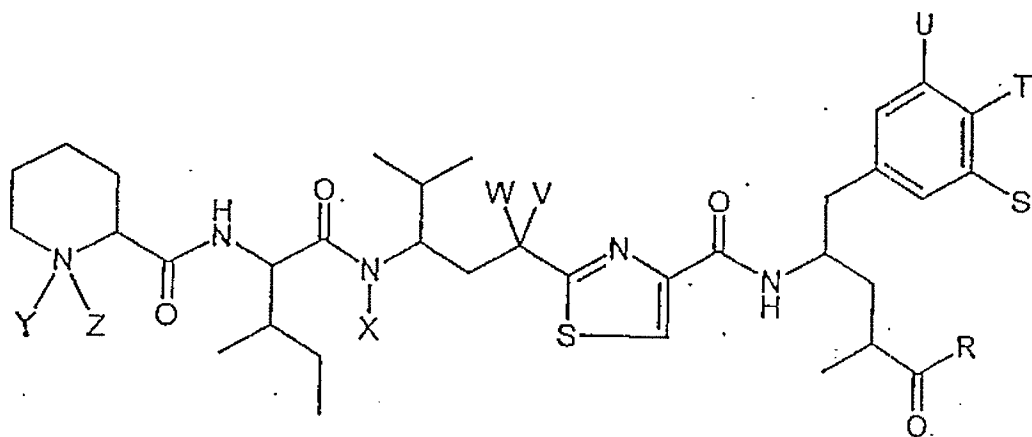
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z= (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹
wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl,
preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl,
especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair, Z =
COR¹¹ and R¹¹ = alkyl, preferably C₁₋₄alkyl, especially methyl, the compound of Formula I (type
33) is reacted with an acylating agent, thereby preparing the compound of formula I having the
indicated meanings.

64. (Withdrawn) The process according to claim 63, wherein the acylation is carried
out using an acid anhydride, especially acetic anhydride, preferably at elevated temperature.

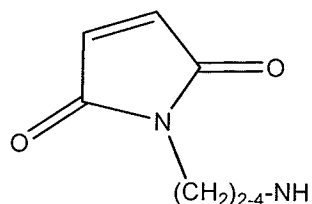
65. (Withdrawn) A process for the preparation of a compound of formula I (type 34)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the
following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

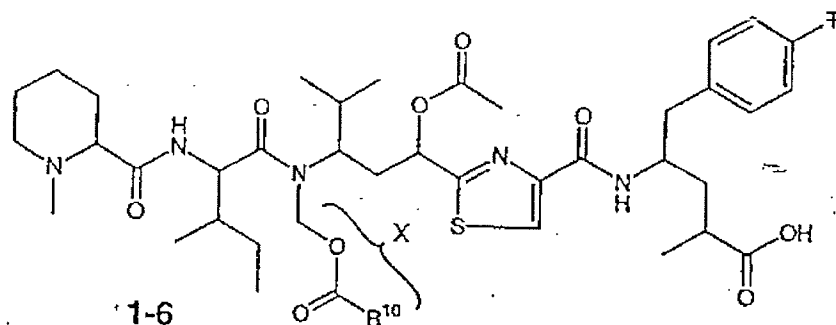
Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl,

especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair, Z = COR¹¹ and R¹¹ = alkyl, preferably C₁₋₄alkyl, especially methyl, wherein

(a) in a first step

- (i) a compound of Formula II (type 1, 2, 3, 4, 5, or 6)



Formula II

or

- (ii) the compound of Formula I (type 13) is subjected to a process according to claim 61 and
(b) in a second step the resulting product (type 33) is subjected to a process according to claim 63,

thereby preparing the compound of formula I having the indicated meanings.

66. (Withdrawn) A therapeutic preparation, especially a cytostatic agent, comprising one or more compounds according to claim 1 as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

67. (Withdrawn) A therapeutic preparation, especially a cytostatic agent, comprising one or more products of a process according to claims 3 as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

68. (Currently Amended) The compound according to claim 1, wherein alkyl is branched, unbranched or cyclic C₁₋₂₀alkyl, especially C₁₋₇alkyl, preferably C₁₋₈alkyl and more preferably C₁₋₄alkyl, especially methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and cycloalkyl having preferably from 3 to 8 carbon atoms in the ring.

69. (Currently Amended) The compound according to claim 1, wherein

alkenyl is branched, unbranched or cyclic C_{2-20} alkenyl, ~~especially C_{2-7} alkenyl, preferably C_{2-6} alkenyl and more preferably C_{2-4} alkenyl, especially vinyl, allyl propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl, but-1-en-4-yl, but-2-en-1-yl, but-2-en-2-yl, 2methyl-propen-1-yl, 2-methyl-propen-3-yl, and cycloalkenyl having preferably from 3 to 8 carbon atoms in the ring and the number of double bonds in the alkenyl groups being from 1 to 3.~~

70. (Previously presented) The compound according to claim 1, wherein aryl is phenyl, naphthyl and biphenyl.

71. (Previously presented) The compound according to claim 1, wherein heteroaryl is furyl, thienyl, imidazolyl, indolyl, pyridyl, pyridinyl, pyrrolyl, thiazolyl, oxazolyl or pyrimidinyl.

72. (Currently Amended) The compound according to claim 1, wherein alkyl, alkenyl, aryl and heteroaryl are unsubstituted or substituted ~~and, especially, carry, in any position, from 1 to 3 substituents from the group formed by C_{1-3} alkyl, C_{1-3} alkoxy, hydroxy, amino (NH_2) and nitro (NO_2).~~

73. (New) The compound according to claim 2, wherein R , R^1 , R^4 , R^5 , R^8 , R^9 , R^{10} and/or $R^{11} = C_{1-4}$ alkyl-substituted phenyl.

74. (New) The compound according to claim 2, wherein $R^6 =$ an Na ion

75. (New) The compound according to claim 2, wherein $R^{10} = C_{2-4}$ alkenyl.

76. (New) The compound according to claim 68, wherein the alkyl is cyclic C_{1-7} alkyl or C_{1-8} alkyl.

77. (New) The compound according to claim 76, wherein the alkyl is cyclic C_{1-4} alkyl.

78. (New) The compound according to claim 77, wherein the alkyl is selected from the group consisting of methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and cycloalkyl having from 3 to 8 carbon atoms in the ring.

79. (New) The compound according to claim 69, wherein the alkenyl is C₂₋₇alkenyl or C₂₋₆alkenyl.

80. (New) The compound according to claim 79, wherein the alkenyl is C₂₋₄alkenyl.

81. (New) The compound according to claim 80, wherein the alkenyl is selected from the group consisting of vinyl, allyl propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl, but-1-en-4-yl, but-2-en-1-yl, but-2-en-2-yl, 2methyl-propen-1-yl, 2-methyl-propen-3-yl, and cycloalkenyl having from 3 to 8 carbon atoms in the ring and the number of double bonds in the alkenyl groups being from 1 to 3.

82. (New) The compound according to claim 72, wherein the alkyl, alkenyl, aryl and heteroaryl carry, in any position, from 1 to 3 substituents from the group formed by C₁₋₃alkyl, C₁₋₃alkoxy, hydroxy, amino (NH₂) and nitro (NO₂)